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STIC Database Tracking Number: 115575

To: Richard Schnizer **Location:** REM-2C18 **Art Unit:** 1635 **Monday, March 08, 2004** **Case Serial Number:** 09/857448	**From:** Beverly Shears **Location:** Remsen Bldg. RM 1A54 **Phone:** 571-272-2528 beverly.shears@uspto.gov

2601

Search Notes

Access DB# _____

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SEARCH REQUEST FORM

Scientific and Technical Information Center

(STIC)

Requester's Full Name: RICHARD SCHNIZER Examiner #: 76557 Date: 2/27/04

Art Unit: 1635 Phone Number ~~30~~ 2-0762 Serial Number: 09/857,448

Mail Box and Bldg/Room Location: REMSEN 2C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: NEW OLIGOMERIC CONJUGATES LIABLE TO TRANSFER - - -

Inventors (please provide full names): PATRICK MIDOUX, CHANTAL PICHON, MAHMOUD BELLO-ROUFAI, MICHEL MONSIGNY,

Earliest Priority Filing Date: 12/2/98

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

PLEASE SEARCH CLAIM 25, ATTACHED.

****

STAFF USE ONLY

Searcher: Beverly c2528

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 03-08-04

Searcher Prep & Review Time: _____

Clerical Prep Time: _____

Online Time: _____

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) _____

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN ✓

Dialog _____

Questel/Orbit _____

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Sequence Systems _____

WWW/Internet _____

Other (specify) _____

PTO-1590 (8-01)

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S12146	U	USPT	imidazol.clm.	2004-04-27 09:51:19	
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L2 48 S L1 AND HISTIDINE
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L4 300 S L3 AND IMIDAZOLE
L5 0 S L3 AND PTERIDINE
L6 0 S L3 AND PTERINE
L7 158 S L3 AND QUINOLINE
L8 346 S L3 AND PYRIDINE
L9 15 L7 AND RECEPTOR
L10 70 PTEROIC OR PTEROATE
L11 1808 L10 OR PTERIN OR PTERINE
L12 21 L11 AND (RECEPTOR OR TRANSPORT) AND MEMBRANE

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11:01:11 ON 27 APR 2004
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OR MONSIGNY M?/AU
L2 211 SEA PLU=ON L1 AND CONJUGAT?
L3 8 SEA PLU=ON L2 AND OLIGOMER?
L4 4 DUP REM L3 (4 DUPLICATES REMOVED)
D BIB AB 1-4

WEST Search History

Hide Items | Restore | Clear | Cancel

DATE: Tuesday, April 27, 2004

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END OF SEARCH HISTORY

h e b b cg b chh e f c e ch e

719,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5582968	A	19961210	US 1992-946054	19920915
US 5106726	A	19920421	US 1990-558799	19900726
AU 9174399	A1	19911017	AU 1991-74399	19910415
AU 635124	B2	19930311		
FI 9103560	A	19920127	FI 1991-3560	19910725
US 5436126	A	19950725	US 1991-805374	19911211
US 5639594	A	19970617	US 1993-83947	19930628
WO 9406826	A1	19940331	WO 1993-US8638	19930915
W: AU, CA, FI, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9351276	A1	19940412	AU 1993-51276	19930915
EP 662082	A1	19950712	EP 1993-922189	19930915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08500122	T2	19960109	JP 1993-508235	19930915
US 5747239	A	19980505	US 1994-262037	19940617
NO 9500977	A	19950314	NO 1995-977	19950314
FI 9501198	A	19950315	FI 1995-1198	19950315

PRIORITY APPLN. INFO.:

US 1990-481348	B2	19900216
US 1990-510153	A2	19900416
US 1990-558799	A3	19900726
US 1991-651735	A2	19910207
US 1991-667275	B2	19910311
US 1991-719819	A2	19910624
US 1991-805374	A2	19911211
US 1992-946054	A2	19920915
WO 1993-US8638	W	19930915

AB The present invention relates to novel branched peptides specific for the diagnosis and prevention of non-A, non-B hepatitis (NANBH), as well as hepatitis C virus (HCV) infection. More particularly, the present invention is directed to branched synthetic substituted and hybrid peptides containing at least one epitope which is effective in detecting NANBH-associated antibodies in patients with NANBH using immunoassay techniques. In addition, this invention provides immunoassays for the detection and diagnosis of NANBH using the subject peptides, vaccine compns. for prevention and treatment of NANBH or HCV infection as well as a method of treating or preventing NANBH and HCV infection.

IT **156986-20-8P**
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(branched hybrid and cluster peptides for diagnosis of hepatitis C virus infection or non-A non-B hepatitis and as vaccine)

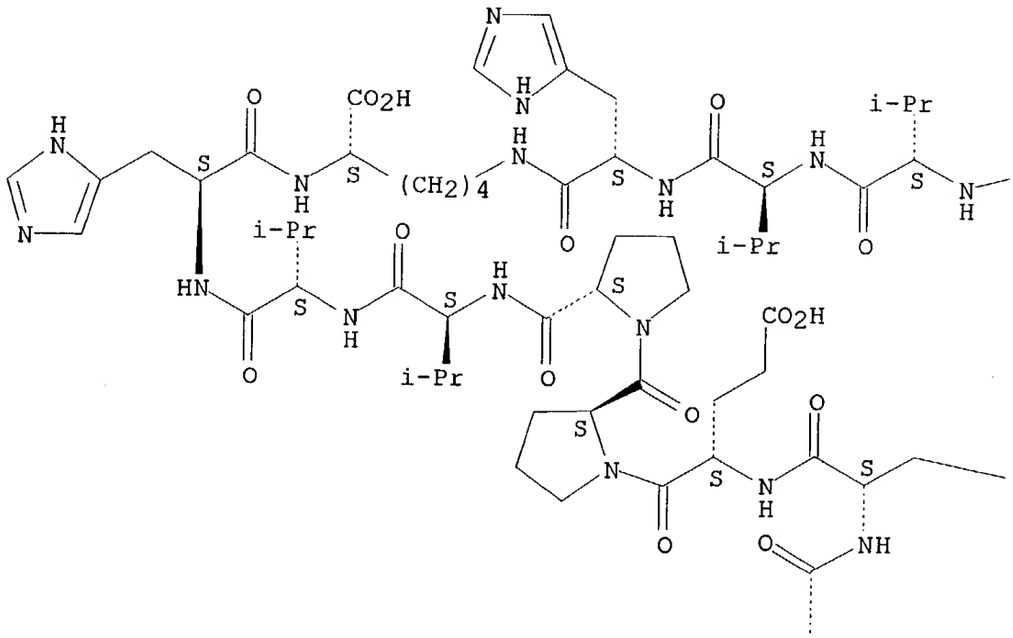
RN 156986-20-8 HCAPLUS

CN L-Lysine, N2,N6-bis(L-α-aspartyl-L-tyrosyl-L-α-glutamyl-

L-prolyl-L-prolyl-L-valyl-L-valyl-L-histidyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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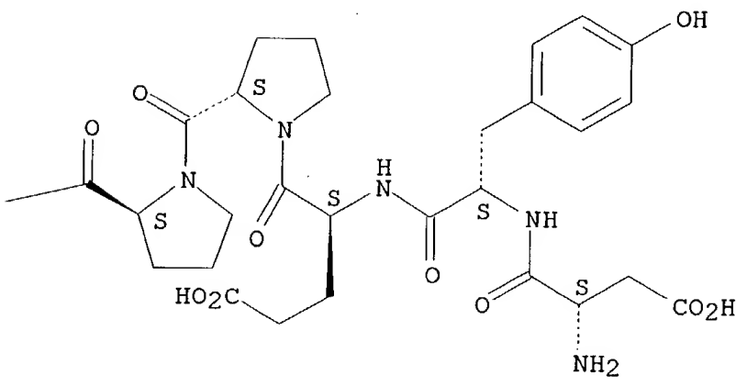
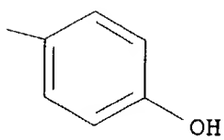
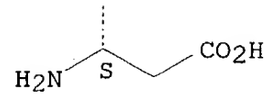


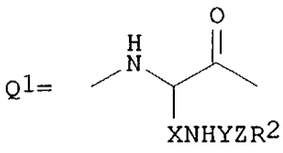


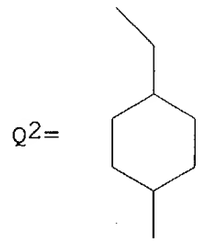


L13 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:573685 HCAPLUS
DOCUMENT NUMBER: 123:33649
TITLE: Preparation of 6-position modified decapeptide LHRH antagonists
INVENTOR(S): Greer, Jonathan; Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf E.; Nichols, Charles J.; Mort, Nicholas A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413313	A1	19940623	WO 1993-US11628	19931130
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2136078	AA	19940623	CA 1993-2136078	19931130
EP 673254	A1	19950927	EP 1994-903367	19931130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08504209	T2	19960507	JP 1993-514229	19931130
US 5698522	A	19971216	US 1995-446809	19950601

PRIORITY APPLN. INFO.: US 1992-987921 19921204
WO 1993-US11628 19931130
OTHER SOURCE(S): MARPAT 123:33649
GI





AB A-D-E-G-J-L-M-Q-R-T [A = N-acetyl-D-3-(naphth-2-yl)alanyl,

N-acetyl-D-phenylalanyl, N-acetylsarcosyl, etc.; D = D-Phe, D-3-(4-chlorophenyl)alanyl, D-3-(4-fluorophenyl)alanyl, etc.; E = D-3-(pyrid-3-yl)alanyl, D-3-(thiazol-2-yl)alanyl, etc.; G = Ser, Ser(OBzl), etc.; J = N(R1)-L-[3-(4-(3-amino-1,2,4-triazol-5-yl)aminophenyl)]alanyl, N(R1)-L-tyrosyl, N(R1)-L-homoarginyl, etc.; R1 = H, alkyl; L = Q1; X = (CH2)n, Q2; n = 1-6; Y = D- or L-Ala, 4-aminobutyryl, 5-aminopentanoyl, azaglycyl, D-leucyl, D-valyl, etc.; Z = null, D-alanyl, azaglycyl, Gly, D-cyclohexylalanyl, D-His, D-Phe, etc.; R2 = 3-amino-1,2,4-triazol-5-yl, Ac, biotinyl, 2-furoyl, isonicotinoyl, (substituted) PhCO, etc.; M = Leu, Val, L-cyclohexylalanyl, etc. Q = L-citrullyl, L-homocitrullyl, Arg, etc.; R = Pro, N(R1)-Ala; T = NHEt, D-alanylamide, D-serylamide, sarcosamide, etc.], were prepared Thus, Ac-D-2-Nal-D-4-Cl-Phe-D-3-Pal-Ser-NMeTyr-D-Lys(Nε-glycylnicotinoyl)-Leu-Lys(Nε-isopropyl)-Pro-D-Ala-NH2 [2-Nal = 3-(naphth-2-yl)alanyl, 4-Cl-Phe = 3-(4-chlorophenyl)alanyl, 3-Pal = 3-(pyrid-3-yl)alanyl] , prepared on methylbenzhydrylamine resin, antagonized LHRH with pA2 = 11.45.

IT **163334-86-9P 163437-74-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

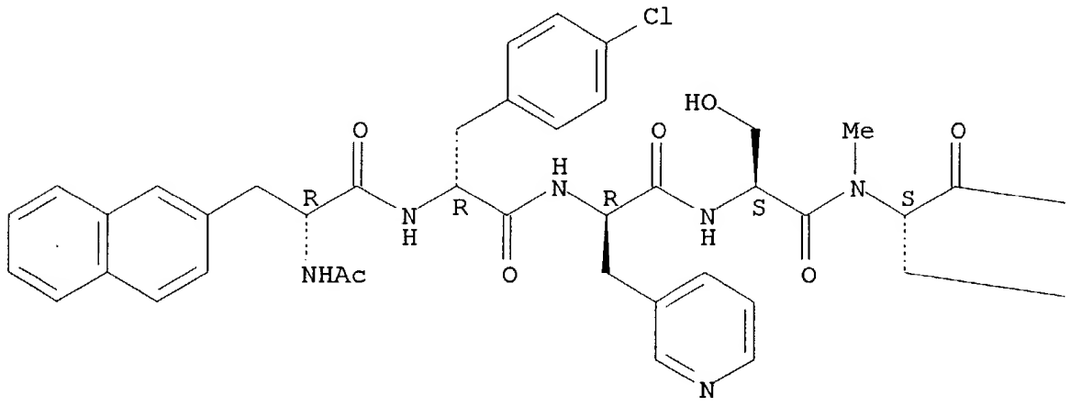
(preparation of 6-position modified decapeptide LHRH antagonists)

RN 163334-86-9 HCAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-histidyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3α,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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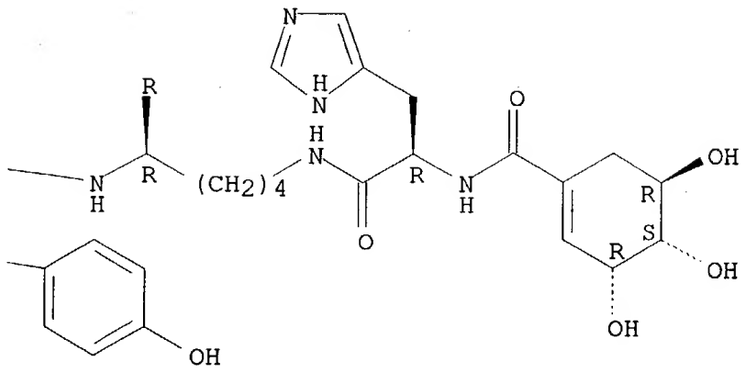

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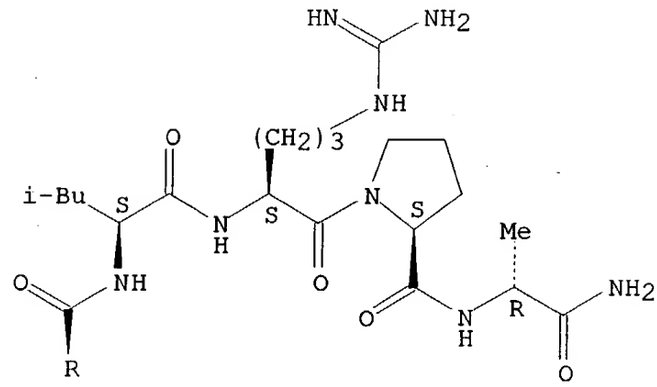


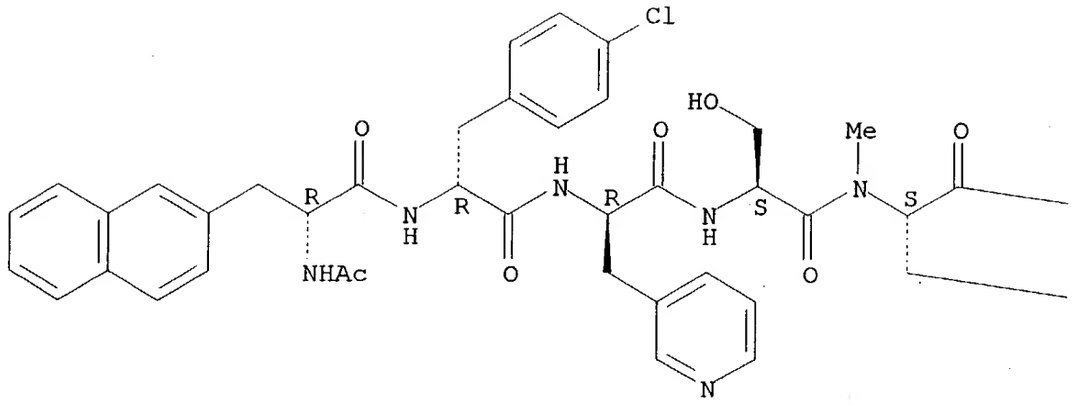
RN 163437-74-9 HCAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-histidyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3α,4α,5β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163334-86-9
CMF C84 H110 Cl N19 O18

Absolute stereochemistry.

PAGE 1-A



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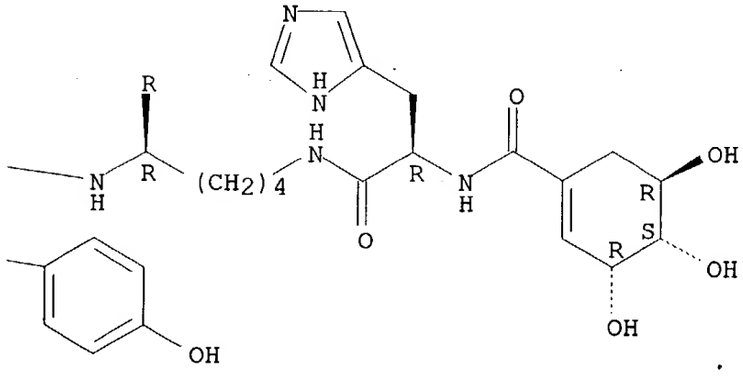

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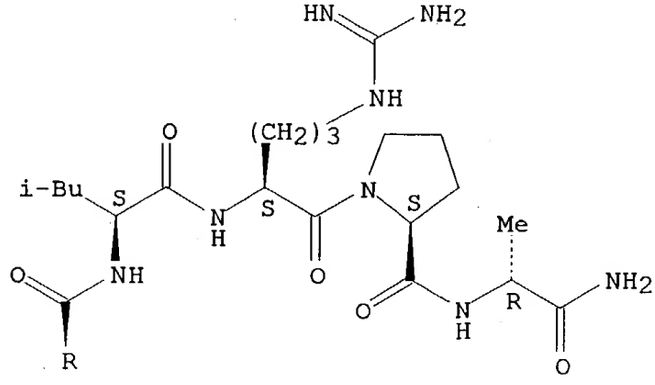

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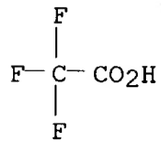
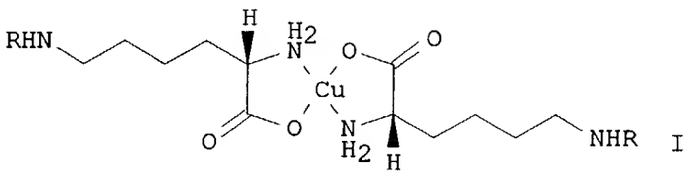


L13 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:385685 HCAPLUS
DOCUMENT NUMBER: 123:228864
TITLE: Synthetic nucleases crafted from L-lysine
AUTHOR(S): Ranganathan, Darshan; Mishra, Rakesh K.; Patel, Bhisma K.; Vaish, Narendra K.
CORPORATE SOURCE: Biomol. Res. Unit, Regional Res. Lab., Trivandrum, 695019, India
SOURCE: Proceedings - Indian Academy of Sciences, Chemical Sciences (1994), 106(5), 1071-88
CODEN: PIAADM; ISSN: 0253-4134
PUBLISHER: Indian Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A central strategy for the design of chemical nucleases is presented. This involves the utilization of the α-amino carboxylate unit of L-lysine to form Cu(II) templates to function as the cleaving center on the one hand and ω-amino group for the attachment of DNA recognition elements on the other, thus giving rise to a duplex recognition termini, harboring a centrally placed Cu(II) for potentiation of oxidative scission. The recognition element studied encompasses a spectrum of structures ranging from quinazolines and purine residues to specifically crafted peptide segments that have potential to form secondary structures. These could be represented as R-K-Cu-K-R (I), wherein R is the recognition system and K-Cu-K, a composite crafted from lysine, consisting of the cleaving center from metal complexation of α-amino acid unit and the spacer consisting of the four methylene groups of the side chain. The

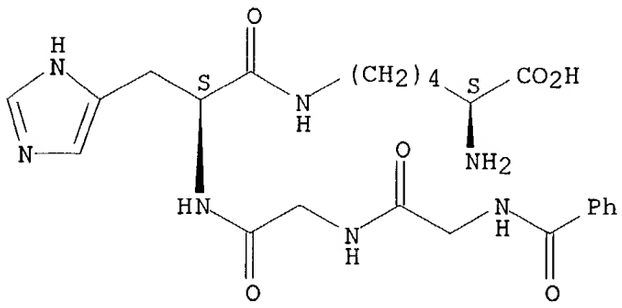
binding and DNA scission profile of the sixteen chemical nucleases thus prepared and fully characterized have been probed by UV, fluorescence quenching and electrophoretic studies. Their binding to calf thymus DNA is associated with a decrease in ε and an .apprx.10-15 nm red shift. The involvement of GC sequence in binding is indicated from studies with poly[d(G-C)·d(G-C)] and poly[d(A-T)·d(A-T)], wherein the hypochromicity and red shift were found to be quite pronounced in the former. Fluorescence quenching studies with I (R = Bz-Trp-Trp) demonstrated the binding of one ligand at approx. every stretch of 112 bp and approx. a stretch of 80 bp in the presence of salt. The DNA cleaving properties of all the nucleases were demonstrated with pBR 322 and p blue script 11KS using standard protocols. In all cases, covalently closed supercoiled (form I DNA) is converted largely into open circular (form II) suggesting nicking of the single strand at binding sites. Sequence specificity expts. with the nuclease. I (R = Bz-Ala-Gly) in a 32P 3'-end labeled 117bp restriction fragment (Eco RI/Hind III) of pUC-18 showed almost exclusive attacks at thymidylate residues in particular, thymines corresponding to 5T of the CTAT(3'-5') box. While the most preferred site of attack is found at T of 3'-ATC-5' at the trinucleotide level, cleavage studies at low concentration have shown that at pentanucleotide level, the lone sequence 3'-GATCT-5' (a part of the inverted repeat -GAGATCTC-) is favored (fragment 92) over the more frequently occurring 3'-TATCT-5' segment.

IT **158347-79-6P 168030-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and DNA cleavage of lysine-containing copper complexes as synthetic nucleases)

RN 158347-79-6 HCAPLUS

CN L-Lysine, N6-[N-[N-(N-benzoylglycyl)glycyl]-L-histidyl]- (9CI) (CA INDEX NAME)

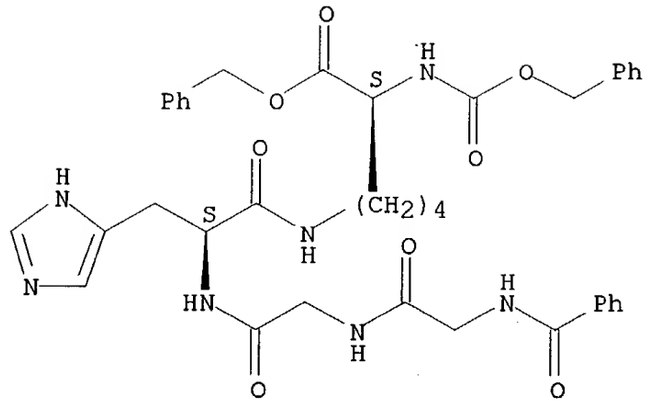
Absolute stereochemistry.



RN 168030-20-4 HCAPLUS

CN L-Lysine, N6-[N-[N-(N-benzoylglycyl)glycyl]-L-histidyl]-N2-[(phenylmethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



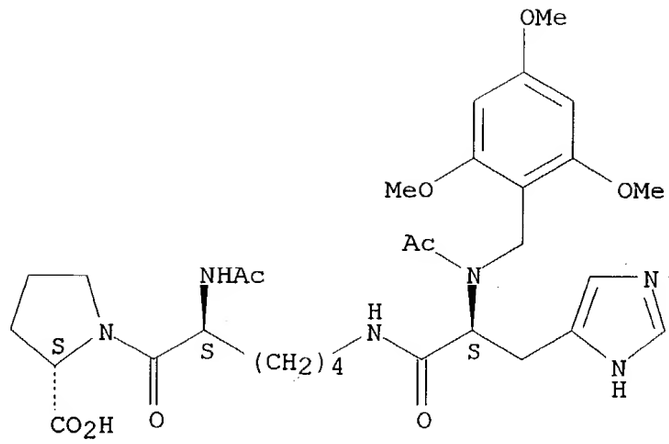
L13 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:188909 HCAPLUS
DOCUMENT NUMBER: 122:71939
TITLE: Application of one-bead one-structure approach to identification of nonpeptidic ligands
AUTHOR(S): Stankova, Magda; Issakova, Olga; Sepetov, Nikolai F.; Krchnak, Viktor; Lam, Kit S.; Lebl, Michal
CORPORATE SOURCE: Department of Chemistry, Selectide Corp., Tucson, AZ, USA
SOURCE: Drug Development Research (1994), 33(2), 146-56
CODEN: DDREDK; ISSN: 0272-4391
PUBLISHER: Wiley-Liss
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A synthetic chemical library comprised of alkylated and acylated amino acids was synthesized and screened to determine structures that bind to a model target, streptavidin. The library was prepared using "split synthesis" and screened in a solid phase binding assay. The structure of pos. reacting compds. was determined using mass spectroscopy. Pos. compds., together with various structural analogs were synthesized and their binding confirmed. Structures containing both an imidazole moiety and a substituted aromatic residue demonstrated binding.

IT **160205-49-2P 160205-50-5P 160205-51-6P**
160205-54-9P 160205-55-0P 160205-56-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(application of one-bead one-structure approach to identification of nonpeptidic ligands)

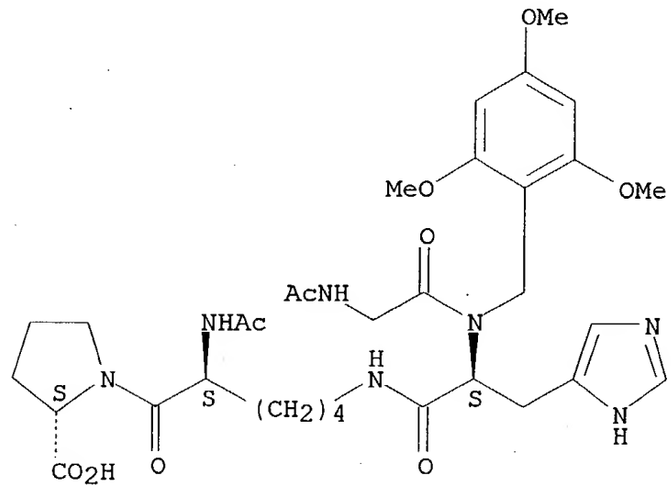
RN 160205-49-2 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-[N-acetyl-N-[(2,4,6-trimethoxyphenyl)methyl]-L-histidyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



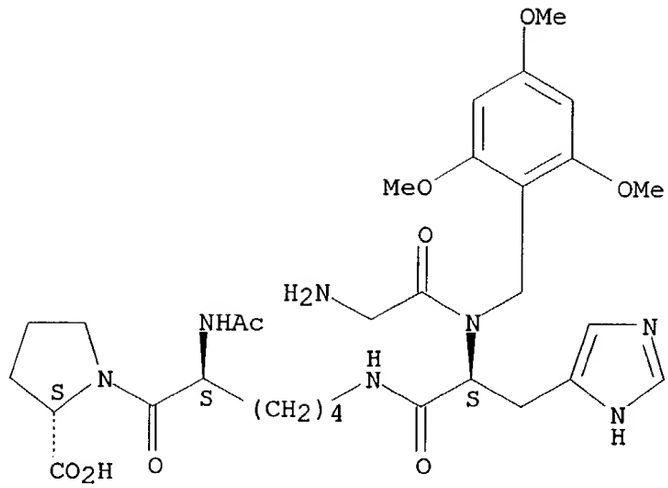
RN 160205-50-5 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-[N-(N-acetylglycyl)-N-[(2,4,6-trimethoxyphenyl)methyl]-L-histidyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



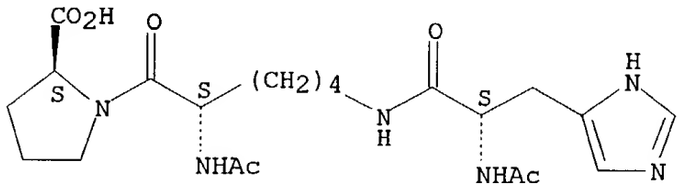
RN 160205-51-6 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-[N-glycyl-N-[(2,4,6-trimethoxyphenyl)methyl]-L-histidyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



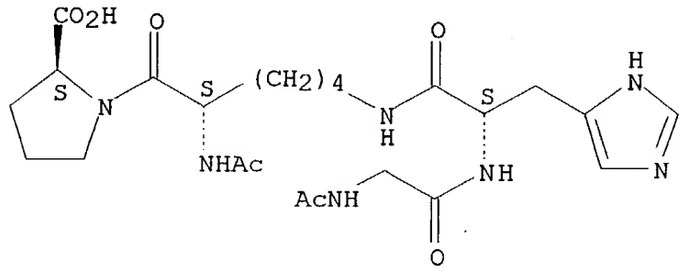
RN 160205-54-9 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-(N-acetyl-L-histidyl)-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



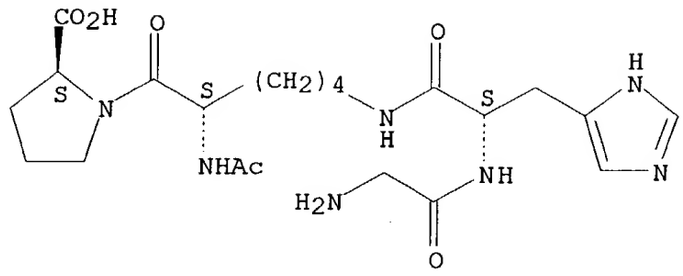
RN 160205-55-0 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-[N-(N-acetylglycyl)-L-histidyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160205-56-1 HCAPLUS
CN L-Proline, 1-[N2-acetyl-N6-(N-glycyl-L-histidyl)-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:32838 HCAPLUS
DOCUMENT NUMBER: 122:106467
TITLE: New, highly active antagonists of LHRH with acylated lysine and p-aminophenylalanine in positions 5 and 6
AUTHOR(S): Janecka, Anna; Janecki, Tomasz; Bowers, Cyril Y.; Folkers, karl
CORPORATE SOURCE: Institute Biomedical Research, University Texas, Austin, TX, USA
SOURCE: International Journal of Peptide & Protein Research (1994), 44(1), 19-23
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of antagonists of the LH releasing hormone (LHRH) with substitutions in position 5 and/or 6 that included acylated lysine or p-aminophenylalanine were synthesized, characterized, and tested for antiovulatory activity (AOA) in rats, and histamine releasing activity. Some of these antagonists were considerably more soluble at neutral pH than antagonists like Antide. Of 37 new antagonists, the best physicochem. and biol. properties were found for the following two analogs: Ac-D-Nal-D-Cpa-D-Pal-Ser-X-D-Lys(Pic-Sar)-Leu-Lys(CHMe2)-Pro-D-Ala-NH2 [I; X = Lys(Pic) (Sartide), Tyr; Nal = 3-(2-naphthyl)alanine, Cpa = 3-(4-chlorophenyl)alanine, Pal = 3-(3-pyridyl)alanine, Pic = picolinoyl]. Both I are soluble in water, inhibit ovulation completely at 0.5 μg per rat, and have ED50 values for histamine release of about 30 μg/mL.

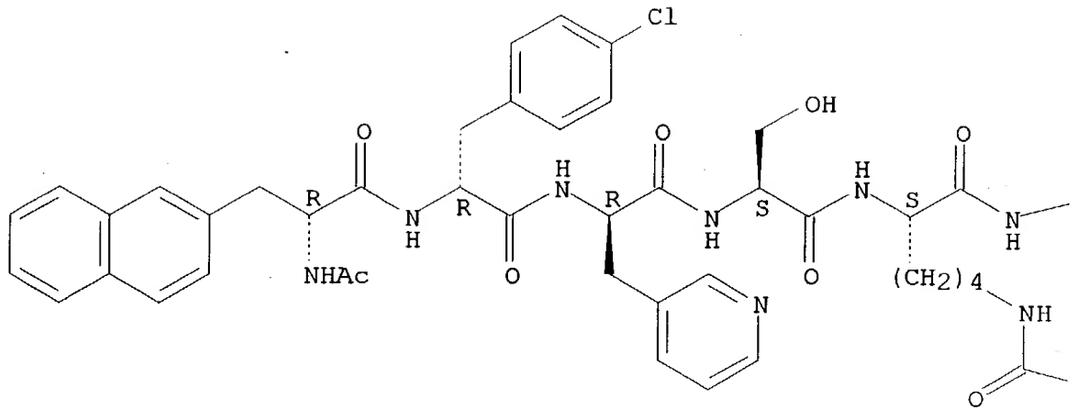
IT **160713-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiovulatory activity of)

RN 160713-72-4 HCAPLUS

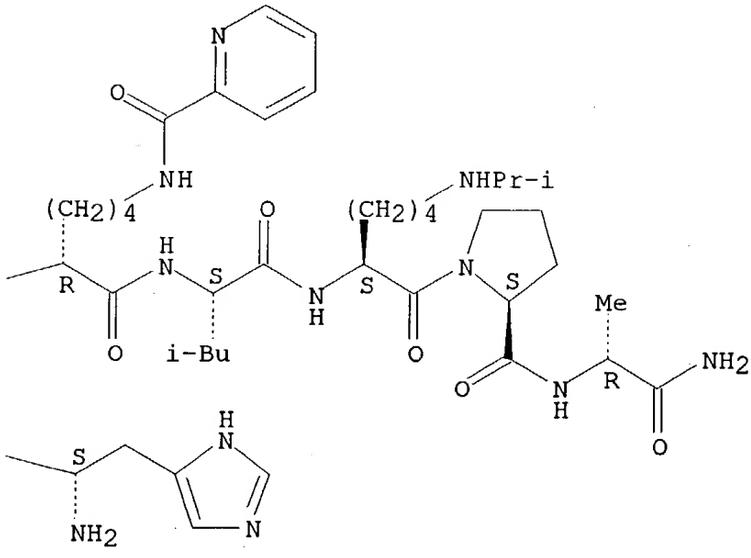
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-L-histidyl-L-lysyl-N6-(2-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L13 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:631313 HCAPLUS
DOCUMENT NUMBER: 121:231313
TITLE: Design of a simple and flexible dimeric peptide model for DNA recognition and scission
AUTHOR(S): Ranganathan, Darshan; Patel, Bhisma Kumar; Mishra, Rakesh
CORPORATE SOURCE: Reg. Res. Lab., Trivandrum, 695 019, India
SOURCE: Journal of the Chemical Society, Chemical

Communications (1994), (1), 107-9
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English

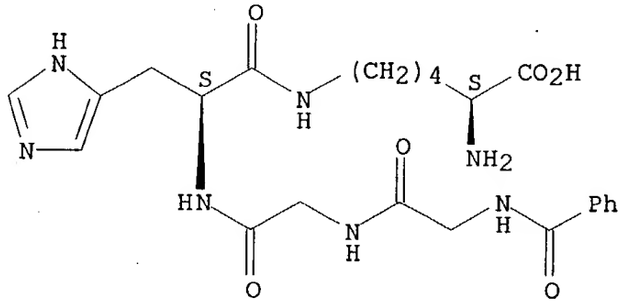
AB Models, containing sym. dimeric peptide units as the recognition systems, constructed by attaching di, tri and tetra peptide units at the ε-NH2 end of the duplex termini in (Lys)2Cu, bind to DNA in a sequence specific manner and effect scission at specific sites.

IT **158347-79-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexation of, with copper)

RN 158347-79-6 HCAPLUS

CN L-Lysine, N6-[N-[N-(N-benzoylglycyl)glycyl]-L-histidyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:529385 HCAPLUS
DOCUMENT NUMBER: 121:129385
TITLE: Branched hybrid and cluster peptides for diagnosis and detection of non-A, non-B hepatitis
INVENTOR(S): Wang, Chang Yi; Hosein, Barbara
PATENT ASSIGNEE(S): United Biomedical, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9406826	A1	19940331	WO 1993-US8638	19930915
W: AU, CA, FI, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5582968	A	19961210	US 1992-946054	19920915
AU 9351276	A1	19940412	AU 1993-51276	19930915
EP 662082	A1	19950712	EP 1993-922189	19930915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

JP 08500122	T2	19960109	JP 1993-508235	19930915
NO 9500977	A	19950314	NO 1995-977	19950314
FI 9501198	A	19950315	FI 1995-1198	19950315

PRIORITY APPLN. INFO.:

US 1992-946054	A	19920915
US 1990-481348	B2	19900216
US 1990-510153	A2	19900416
US 1990-558799	A3	19900726
US 1991-651735	A2	19910207
US 1991-667275	B2	19910311
US 1991-719819	A2	19910624
US 1991-805374	A2	19911211
WO 1993-US8638	W	19930915

AB Novel synthetic branched peptides carrying antigenic peptides for the diagnosis and prevention of non-A, non-B hepatitis (NANBH), as well as hepatitis C virus (HCV) infection are described. These peptides contain at least one epitope useful in the immunoassay of NANBH-associated antibodies. Immunoassays and kits for the detection and diagnosis of NANBH or HCV infection using these peptides are described. The use of such peptides in immunoassays is demonstrated; the sensitivity of the assay using these peptides is increased.

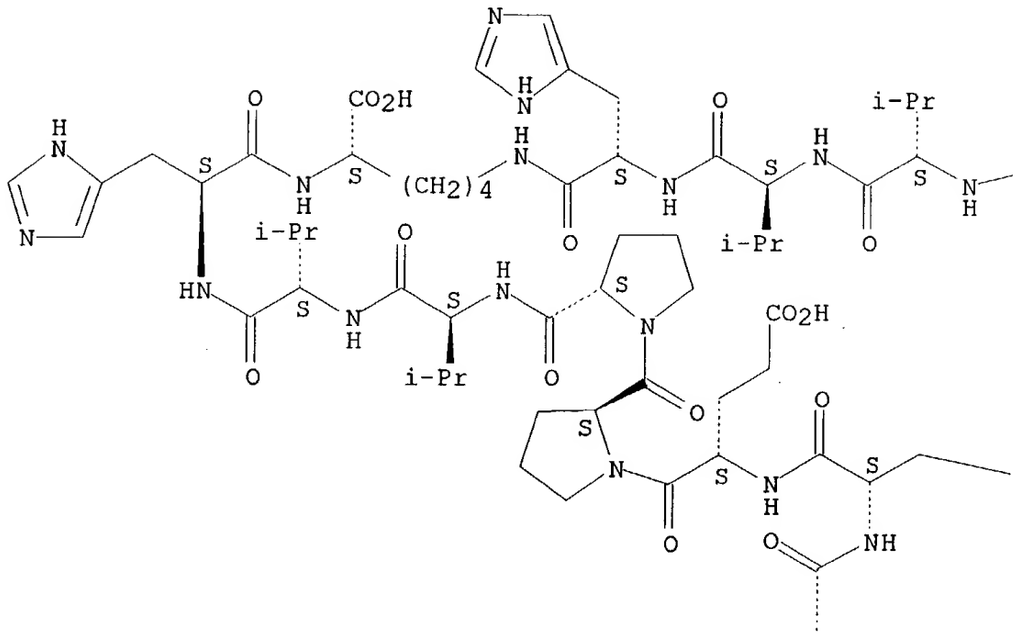
IT **156986-20-8**
RL: ANST (Analytical study)
(branched peptide containing epitope of hepatitis C virus, for immunoassay of antibodies to virus in diagnosis of non-A, non-B virus)

RN 156986-20-8 HCAPLUS

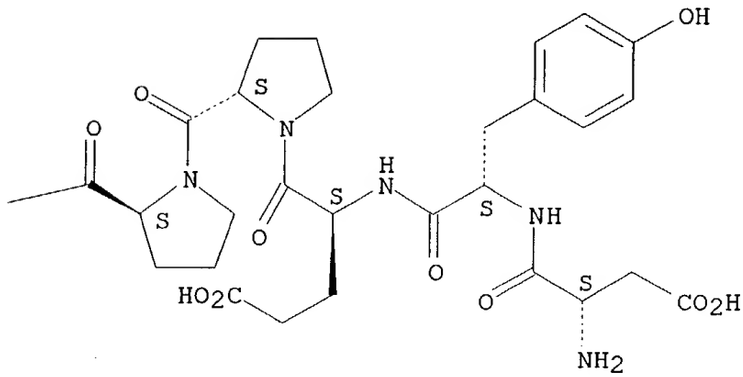
CN L-Lysine, N2,N6-bis(L-α-aspartyl-L-tyrosyl-L-α-glutamyl-L-prolyl-L-prolyl-L-valyl-L-valyl-L-histidyl)- (9CI) (CA INDEX NAME)

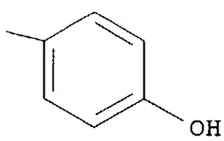
Absolute stereochemistry.

PAGE 1-A



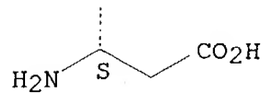
PAGE 1-B





Searcher : Shears 571-272-2528

PAGE 2-A

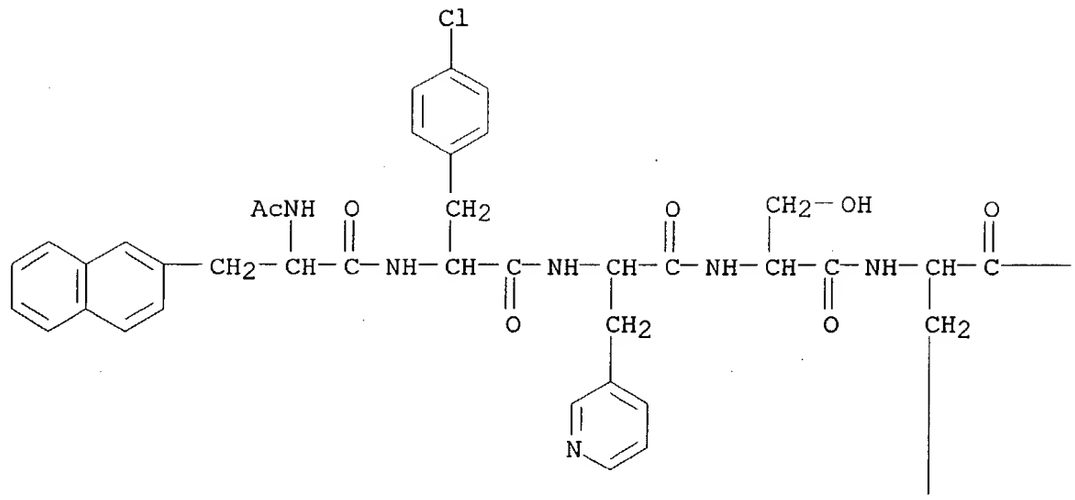


L13 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:427074 HCAPLUS
DOCUMENT NUMBER: 121:27074
TITLE: Structure-activity studies of LH-RH antagonists with side-chain modified D-lysine in position 6
AUTHOR(S): Tian, Zhen-ping; Zhang, Yong-liang; Kowalczuk, Maria; Hrinyo-Pavlina, Tanya; Edwards, Patrick; Roeske, Roger
CORPORATE SOURCE: Dep. Biochem. and Mol. Biol., Indiana Univ. Sch. Med., Indianapolis, IN, 46202-5122, USA
SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993), Meeting Date 1992, 45-8. Editor(s): Du, Yu-cang; Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth.
CODEN: 59YOAI
DOCUMENT TYPE: Conference
LANGUAGE: English

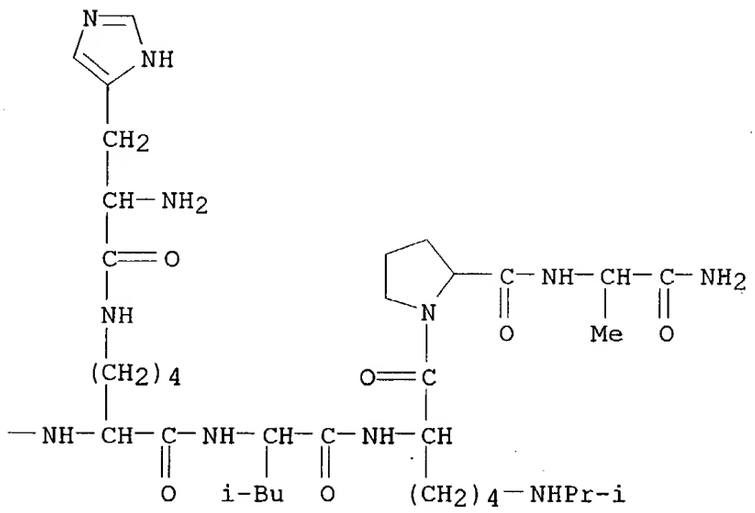
AB Twenty-two LH-RH antagonists were synthesized with a side-chain modified D-lysine in position 6, having the general sequence Ac-D-Nal1-4-Cl-δ-Phe2-D-Pal3-Ser-Tyr-D-Lys(X)6-Leu-Lys(iPr)8-Pro-D-Ala-NH2 and were tested for antiovulatory activity and histamine-releasing toxicity. Modification of the D-Lys side chain ε-amino group in position 6 with aromatic moieties produced less active LH-RH antagonists, whereas incorporation of an aromatic heterocyclic moiety with a pos. charge on the ring increased the antiovulatory activity dramatically, although histamine-releasing toxicity was also increased. Replacement of the ε-amino group of δ-lysine with either another primary amino group, a secondary amino group, or a tertiary amino group provided good antiovulatory activity but the histamine-releasing toxicity was not improved. The compds. with pyroglutamic acid or its thio analog attached to D-lysine side-chain showed 90-100% inhibition of ovulation with reasonably low histamine-releasing toxicity.

IT **155944-29-9**
RL: BIOL (Biological study)
(antiovulatory activity and histamine-releasing toxicity of, structure in relation to)
RN 155944-29-9 HCAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-L-histidyl-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-A

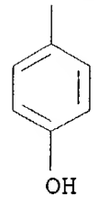


PAGE 1-B



Searcher : Shears 571-272-2528

PAGE 2-A



L13 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:454683 HCAPLUS
DOCUMENT NUMBER: 107:54683
TITLE: Analysis of structure-activity relationships in renin substrate analog inhibitory peptides
AUTHOR(S): Hui, Kwan Y.; Carlson, William D.; Bernatowicz, Michael S.; Haber, Edgar
CORPORATE SOURCE: Cardiac Unit, Massachusetts Gen. Hosp., Boston, MA, 02114, USA
SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1287-95
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

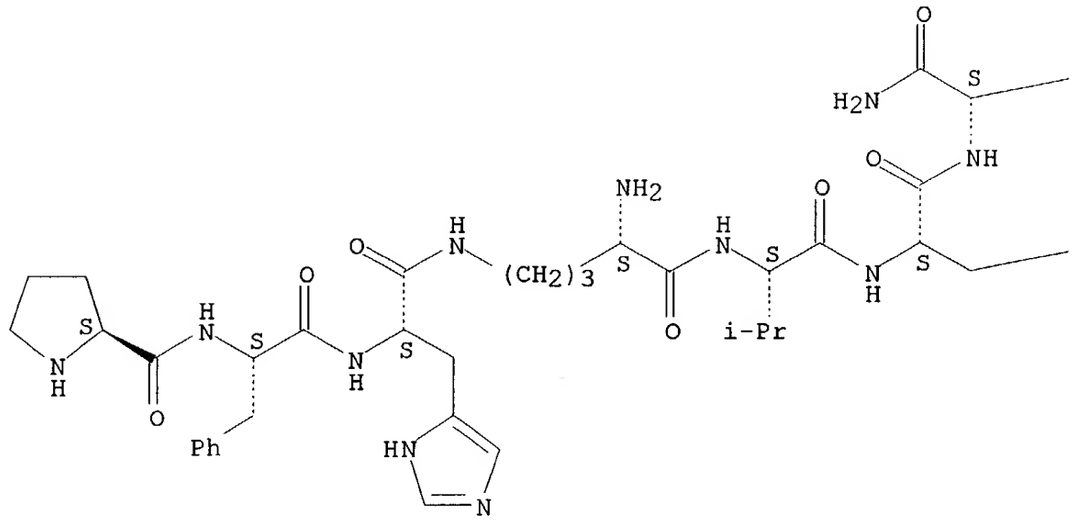
AB On the basis of the minimal octapeptide sequence of renin substrate, a series of peptides was synthesized containing (3S,4S)-4-amino-3-hydroxy-6-methylheptanoic acid (statine) or (3S,4S)-4-amino-3-hydroxy-5-phenylpentanoic acid (AHPPA) at the P1P1' position. Some of these peptides also contained N2n-formyltryptophan at the P5, P3, or P3' position. The renin-inhibitory potency varied over a wide range. The potency was reduced by at least 10-fold when the peptide was shortened by 2 residues at either the N- or C-terminus. The AHPPA-containing inhibitors were several-fold less potent than the statine-containing inhibitors. Anal. of models for the 3-dimensional structure of inhibitors at the active site of human renin suggested that the diminished potency of the AHPPA peptides in comparison with the statine-containing peptides was caused by a shift in the peptide backbone due to a steric conflict between the Ph ring of the AHPPA residue and the S1 subsite. The importance of the side-chain and the 3(S)-hydroxyl group of the statine residue was demonstrated by substituting 5-aminovaleric acid for a dipeptide unit at the P1P1' position, which resulted in a peptide devoid of renin-inhibitory activity. Substitutions of other basic amino acids for histidine at the P2 position caused a great loss in potency, possibly due to disruption of a H-bond as suggested by mol. modeling. Studies on the plasma renins of 4 nonhuman species suggested that the isoleucine-histidine segment at the P2'P3' position is important to defining the human specificity of the substrate. This work suggests a number of properties important to the design of potent renin inhibitors, and demonstrates the usefulness of 3-dimensional models in the interpretation of structure-activity data.

IT **108895-27-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and renin of human blood plasma inhibition by, structure

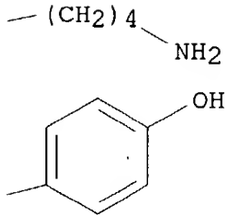
in relation to)
RN 108895-27-8 HCAPLUS
CN L-Lysinamide, N5-[N-(N-L-prolyl-L-phenylalanyl)-L-histidyl]-L-ornithyl-L-valyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L13 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:77286 HCAPLUS
DOCUMENT NUMBER: 94:77286
TITLE: Structure-activity studies on hypothalamic hormones: recent developments
AUTHOR(S): Coy, David H.; Mezo, Imre; Pedroza, Escipion; Nekola, Mary V.; Schally, Andrew V.; Murphy, William; Meyers, Chester A.
CORPORATE SOURCE: Sch. Med., Tulane Univ., New Orleans, LA, 70112, USA
SOURCE: Miles International Symposium Series (1980),

12(Polypept. Horm.), 185-92
CODEN: MSSEDP; ISSN: 0363-4698
DOCUMENT TYPE: Journal
LANGUAGE: English

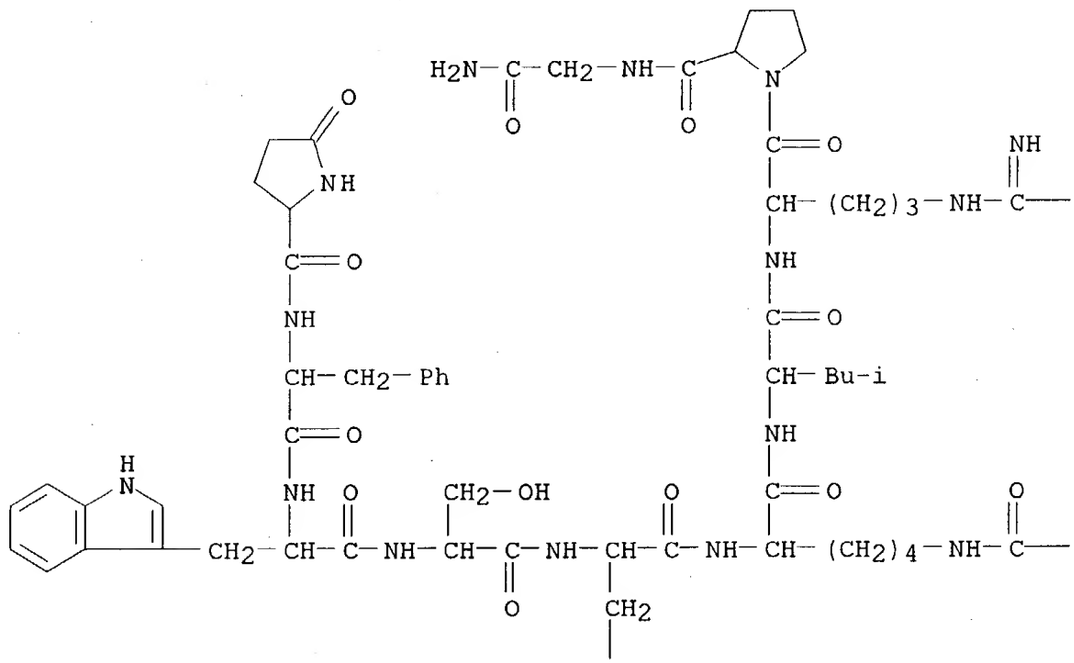
AB The ovulation-inhibiting of activity of a number of dimeric and substituted LH-RH analogs and the growth hormone [9002-72-6] release-inhibiting activity of a number of somatostatin analogs is presented along with a discussion of the possible clin. importance of such compds.

IT **65513-88-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ovulation inhibition by, structure in relation to)

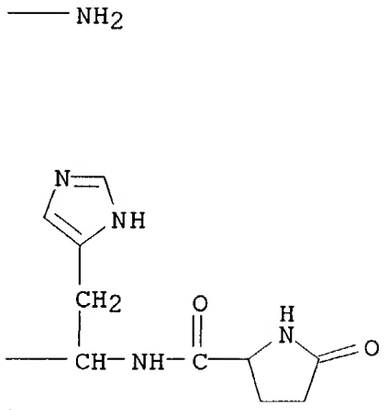
RN 65513-88-4 HCAPLUS

CN Glycinamide, 5-oxo-L-prolyl-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-N6-[N-(5-oxo-L-prolyl)-L-histidyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

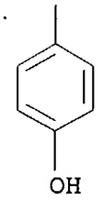
PAGE 1-A



PAGE 1-B



PAGE 2-A

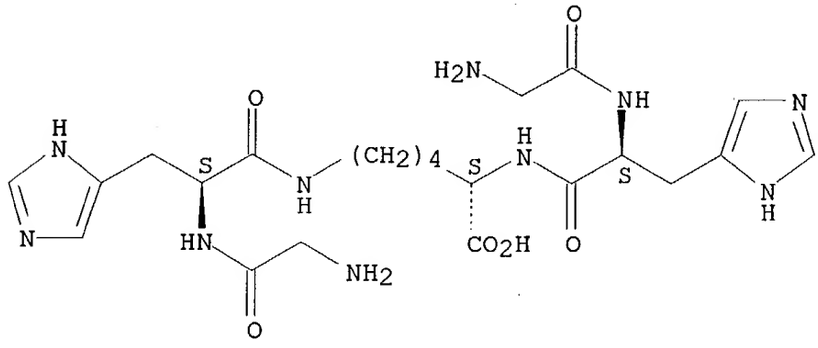


L13 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:587322 HCAPLUS
DOCUMENT NUMBER: 91:187322
TITLE: Growth-modulating human plasma tripeptide: relationship between molecular structure and DNA synthesis in hepatoma cells
AUTHOR(S): Pickart, Loren; Thaler, M. Michael
CORPORATE SOURCE: Liver Cent., Univ. California, San Francisco, CA, 94143, USA
SOURCE: FEBS Letters (1979), 104(1), 119-22
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Gly-his-lys (I) [49557-75-7] (2-2000 ng/mL) increased DNA formation in hepatoma cells 1.5-5.1-fold; among 9 analogs tested, gly-his-lys-his [71752-71-1], his-lys-gly [62024-09-3], and to a lesser degree gly-his-orn [71752-72-2] had comparable activities. Deletion of the terminal glycine from I essentially eliminated

activity. Apparently, the his-lys sequence and glycine in either terminal position are essential for activity.

IT **71752-73-3**
RL: PRP (Properties)
(DNA formation response to, in hepatoma cells)
RN 71752-73-3 HCAPLUS
CN L-Lysine, N2,N6-bis(N-glycyl-L-histidyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:83808 HCAPLUS
DOCUMENT NUMBER: 88:83808
TITLE: Branched-chain analogs of luteinizing hormone-releasing hormone
AUTHOR(S): Seprodi, Janos; Coy, David H.; Vilchez-Martinez, Jesus A.; Pedroza, Escipion; Schally, Andrew V.
CORPORATE SOURCE: Dep. Med., Tulane Univ. Sch. Med., New Orleans, LA, USA
SOURCE: Journal of Medicinal Chemistry (1978), 21(3), 276-80
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The LH-releasing hormone analog [D-Phe2,D-Trp3,D-Lys6]-LH-releasing hormone (I) [65360-23-8] was prepared by solid-phase synthesis and modified by acylation or solid-phase synthesis to give I derivs. containing the benzoyl, acetylsalicylyl, indomethacinyl, pyroglutamylhistidyl(pGlu-His), and pGlu-D-Phe-D-Trp-Ser-Tyr groups attached to the ε-amino group of the D-lysine residue. Incorporation of the pentapeptide sequence gave a pentadecapeptide [D-Phe2,D-Trp3,Nε-(pGlu-D-Phe-D-Trp-Ser-Tyr)-D-Lys6]-LH-releasing hormone [65482-77-1] with LH-releasing hormone antagonist activity similar to [D-Phe2,D-Trp3,D-Phe6]-LH-releasing hormone in male rats and antiovulatory activity in rats greater than any other analog thus far tested. Also prepared was [Nε-(pGlu-His-Trp-Ser-Tyr)-D-Lys6]-LH-releasing hormone [65482-78-2], which had only 4 times the LH- and FSH-releasing activity of LH-releasing hormone in rats, or about the same potency as [D-Lys6]-LH-releasing hormone.

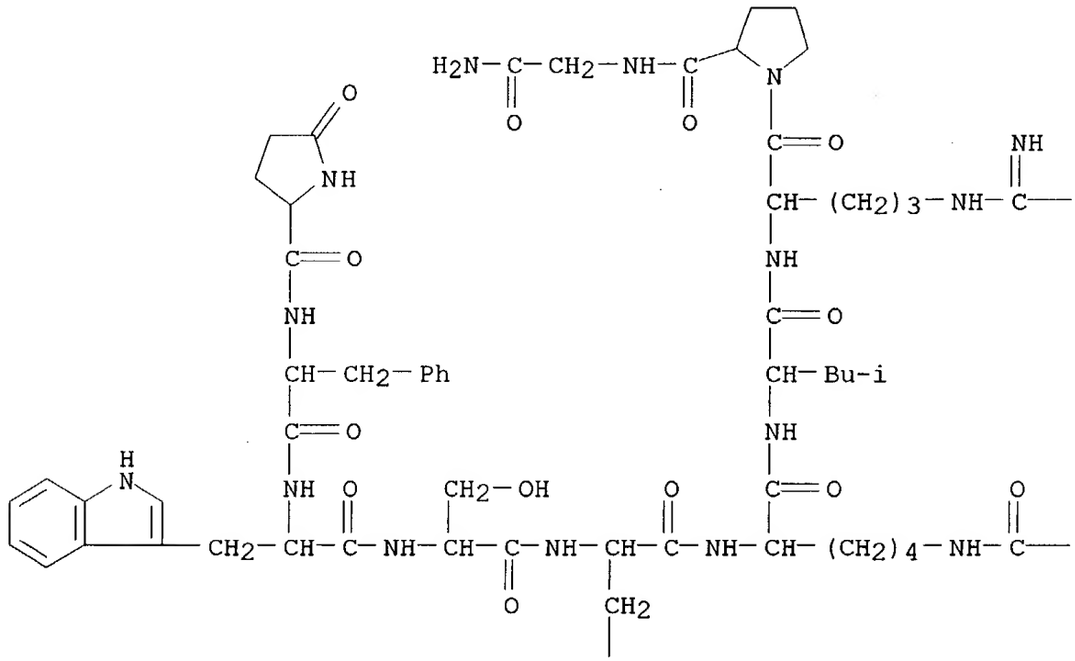
IT **65513-88-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antiLH-releasing hormone and ovulation inhibiting activity of)

RN 65513-88-4 HCAPLUS

CN Glycinamide, 5-oxo-L-prolyl-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-N6-[N-(5-oxo-L-prolyl)-L-histidyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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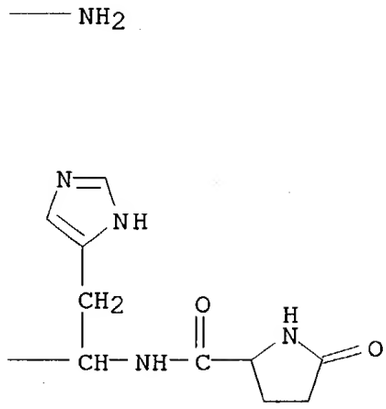

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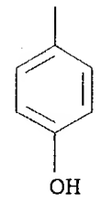

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FILE 'USPATFULL' ENTERED AT 09:49:26 ON 08 MAR 2004
L16 2 S L14

L16 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER:	97:118014 USPATFULL
TITLE:	6-position modified decapeptide LHRH antagonists
INVENTOR(S):	Haviv, Fortuna, Deerfield, IL, United States Fitzpatrick, Timothy D., Salem, OR, United States Swenson, Rolf E., Grayslake, IL, United States Nichols, Charles J., Greendale, WI, United States Mort, Nicholas A., Waukegan, IL, United States Greer, Jonathan, Chicago, IL, United States
PATENT ASSIGNEE(S):	Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5698522		19971216	
	WO 9413313		19940623	
APPLICATION INFO.:	US 1995-446809		19950601	(8)
	WO 1993-US11628		19931130	
			19950601	PCT 371 date
			19950601	PCT 102(e) date

RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-987921, filed on 4 Dec 1992, now abandoned
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Tsang, Cecilia J.
ASSISTANT EXAMINER:	Gupta, Anish
LEGAL REPRESENTATIVE:	Anand, Mona
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	2497

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a class of decapeptide compounds which are potent antagonists of LHRH activity and which have the structure A.sup.1 -D.sup.2 -E.sup.3 -G.sup.4 -J.sup.5 -L.sup.6 -M.sup.7 -Q.sup.8 -R.sup.9 -T.sup.10. The compounds of the percent invention are characterized by having an Ω-amino-functionalized side chain on the D-aminoacyl residue at position 6. The Ω-amino group of this side chain is further derivatized by the attachment of an extending group which likewise has a terminal amino group which is capped by an acyl group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L16 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:	96:113770 USPATFULL
TITLE:	Branched hybrid and cluster peptides effective in diagnosing and detecting non-A, non-B hepatitis
INVENTOR(S):	Wang, Chang-Yi, Great Neck, NY, United States Hosein, Barbara H., New York, NY, United States
PATENT ASSIGNEE(S):	United Biomedical, Inc., Hauppauge, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5582968		19961210
APPLICATION INFO.:	US 1992-946054		19920915 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-719819, filed on 24 Jun 1991 which is a continuation-in-part of Ser. No. US 1991-667275, filed on 11 Mar 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-651735, filed on 7 Feb 1991 And a continuation-in-part of Ser. No. US 1991-805374, filed on 11 Dec 1991, now patented, Pat. No. US 5436126 which is a division of Ser. No. US 1990-558799, filed on 26 Jul 1990, now patented, Pat. No. US 5106726 which is a continuation-in-part of Ser. No. US 1990-510153, filed on 16 Apr 1990 which is a continuation-in-part of Ser. No. US 1990-481348, filed on 16 Feb 1990, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Woodward, Michael P.
LEGAL REPRESENTATIVE: Morgan & Finnegan, L.L.P.
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 840

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel branched peptides specific for the diagnosis and prevention of non-A, non-B hepatitis (NANBH), as well as hepatitis C virus (HCV) infection. More particularly, the present invention is directed to branched synthetic substituted and hybrid peptides containing at least one epitope which is effective in detecting NANBH-associated antibodies in patients with NANBH using immunoassay techniques. In addition, this invention provides immunoassays for the detection and diagnosis of NANBH using the subject peptides, vaccine compositions for prevention and treatment of NANBH or HCV infection as well as a method of treating or preventing NANBH and HCV infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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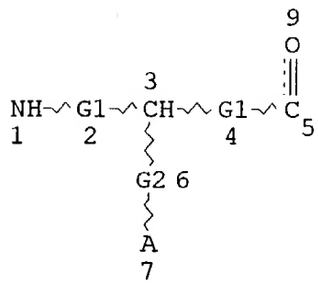
Schnizer, R.
09/857448

(FILE 'REGISTRY' ENTERED AT 09:45:22 ON 08 MAR 2004)

L1 STR

Str. claim 25



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE

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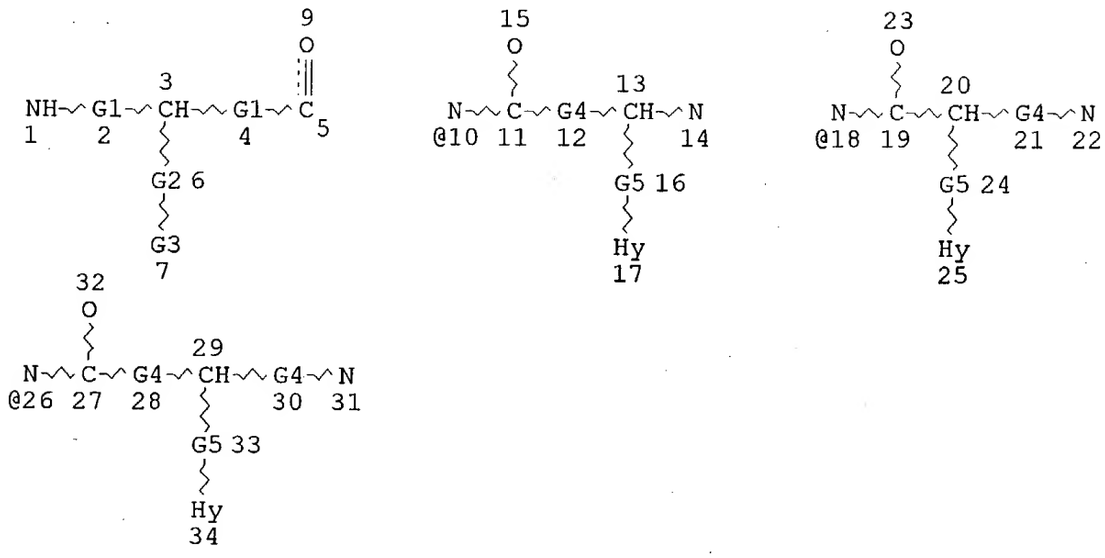

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Searcher : Shears 571-272-2528

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
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L7 3 S L6

L7 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:384398 HCAPLUS
DOCUMENT NUMBER: 133:27336
TITLE: Histidylated oligolysines increase the transmembrane passage and the biological activity of antisense oligonucleotides
INVENTOR(S): Midoux, Patrick; Pichon, Chantal; Bello-Roufai, Mahajoub; Monsigny, Michel
PATENT ASSIGNEE(S): I.D.M. Immuno-Designed Molecules, Fr.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032764	A1	20000608	WO 1999-EP8980	19991122
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1135481	A1	20010926	EP 1999-959296	19991122
EP 1135481	B1	20040225		
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JP 2002532388	T2	20021002	JP 2000-585395	19991122

PRIORITY APPLN. INFO.: EP 1998-403015 A 19981202
WO 1999-EP8980 W 19991122

AB The invention relates to a pos. charged oligomeric conjugate, containing an oligomer with a d.p. from 5 to 50, preferably 10 to 40 and more preferably 20, formed from monomeric components having free NH3+ in a number equal to or higher than 50% of the polymerization degree. In particular, the invention provides new oligomeric conjugates of histidylated oligolysine liable to allow the transfer of

oligonucleotides, peptides and oligosides into cells. Histidylated oligolysines are designed which increase the uptake, the cytosolic delivery, and the nuclear accumulation of antisense oligonucleotides (ODN). Flow cytometry anal. showed a 10-fold enhancement of the ODN uptake in the presence of histidylated oligolysines. The intracellular localizations of fluorescein-labeled ODN and of rhodamine-labeled histidylated oligolysines were investigated by confocal microscopy. Histidylated oligolysines favor the cytosolic delivery of ODN from endosomes and increase their nuclear accumulation. In contrast, in their absence fluorescent ODN were not observed inside the nucleus but were distributed overwhelmingly within the vesicles in the cytosol. In addition, histidylated oligolysines yielded a more than 20-fold enhancement of the biol. activity of antisense ODN towards the inhibition of transient as well as constitutive gene expression.

IT **266321-10-2P 266321-11-3P 266321-13-5P**
273917-93-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(histidylated oligolysines increase the transmembrane passage and the biol. activity of antisense oligonucleotides)

RN 266321-10-2 HCAPLUS

CN L-Lysine, N6-L-histidyl-, polymer with N6-D-gluconoyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

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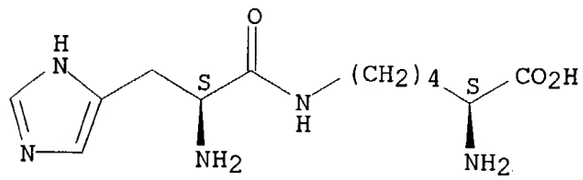
CRN 266321-09-9
CMF C12 H21 N5 O3

Absolute stereochemistry.



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CRN 94071-01-9
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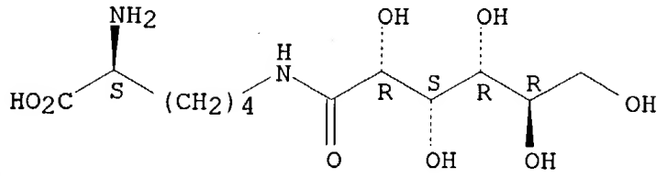
Absolute stereochemistry.



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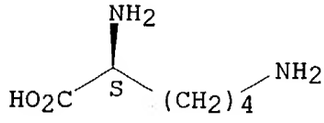
CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.



RN 266321-11-3 HCAPLUS
CN L-Lysine, N6-L-histidyl-, polymer with N6-acetyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

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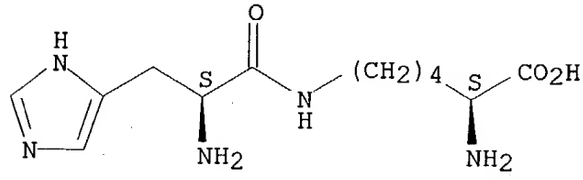
CRN 266321-09-9
CMF C12 H21 N5 O3

Absolute stereochemistry.



CM 2

CRN 692-04-6
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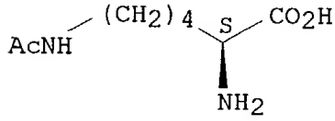
Absolute stereochemistry.



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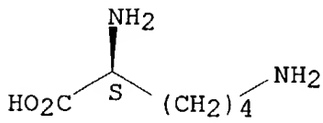
Absolute stereochemistry.



RN 266321-13-5 HCAPLUS
CN L-Lysine, N6-(N-acetyl-L-histidyl)-, polymer with N6-acetyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

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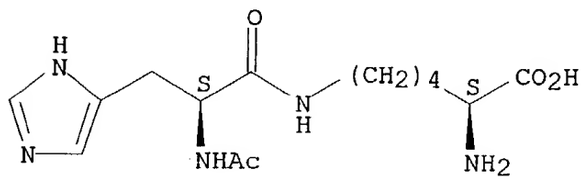
CRN 266321-12-4
CMF C14 H23 N5 O4

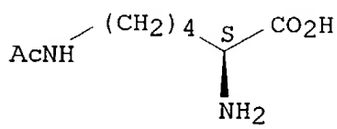
Absolute stereochemistry.



CM 2

CRN 692-04-6
CMF C8 H16 N2 O3

Absolute stereochemistry.



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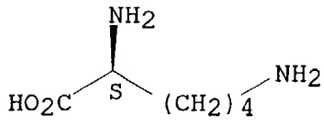
Absolute stereochemistry.



RN 273917-93-4 HCAPLUS
CN L-Lysine, N6-L-histidyl-, polymer with L-leucine (9CI) (CA INDEX NAME)

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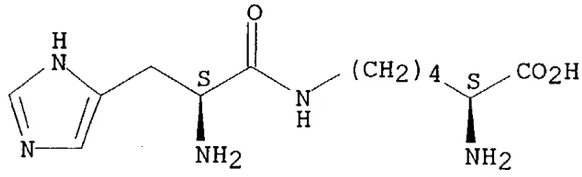
CMF C12 H21 N5 O3

Absolute stereochemistry.



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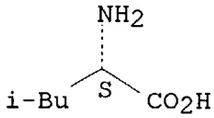
CRN 61-90-5
CMF C6 H13 N O2

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:100762 HCAPLUS
DOCUMENT NUMBER: 132:303975
TITLE: Histidylated oligolysines increase the transmembrane passage and the biological activity of antisense oligonucleotides
AUTHOR(S): Pichon, Chantal; Roufai, Mahajoub Bello; Monsigny, Michel; Midoux, Patrick
CORPORATE SOURCE: Centre de Biophysique Moleculaire, Glycobiologie, CNRS UPR4301 and University of Orleans, Orleans, F-45071, Fr.
SOURCE: Nucleic Acids Research (2000), 28(2), 504-512

CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have designed histidylated oligolysines which increase the uptake, the cytosolic delivery and the nuclear accumulation of antisense oligonucleotides (ODN). Flow cytometry anal. showed a 10-fold enhancement of the ODN uptake in the presence of histidylated oligolysines. The intracellular localizations of fluorescein-labeled ODN and of rhodamine-labeled histidylated oligolysines were investigated by confocal microscopy. Histidylated oligolysines favor the cytosolic delivery of ODN from endosomes and increase their nuclear accumulation. In contrast, in their absence fluorescent ODN were not observed inside the nucleus but were distributed overwhelmingly within the vesicles in the cytosol. In addition, histidylated oligolysines yielded a more than 20-fold enhancement of the biol. activity of antisense ODN towards the inhibition of transient as well as constitutive gene expression. Prevention of endosome lumen acidification using bafilomycin A1 abolished the effect of histidylated oligolysines, suggesting that protonation of the histidyl residues was involved in the transmembrane passage of ODN.

IT **266321-10-2P 266321-11-3P 266321-13-5P**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(histidylated oligolysines increase the transmembrane passage and the biol. activity of antisense oligonucleotides)

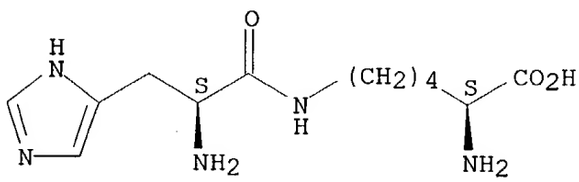
RN 266321-10-2 HCAPLUS

CN L-Lysine, N6-L-histidyl-, polymer with N6-D-gluconoyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

CM 1

CRN 266321-09-9
CMF C12 H21 N5 O3

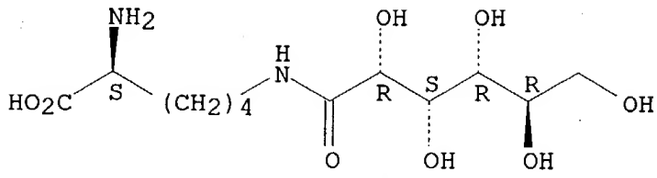
Absolute stereochemistry.



CM 2

CRN 94071-01-9
CMF C12 H24 N2 O8

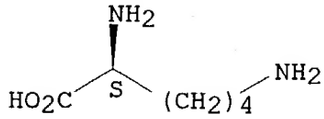
Absolute stereochemistry.



CM 3

CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.

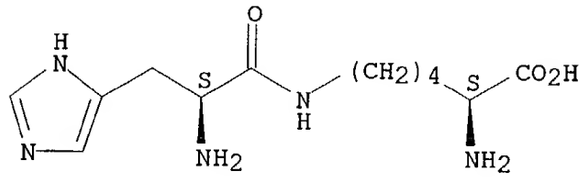


RN 266321-11-3 HCAPLUS
CN L-Lysine, N6-L-histidyl-, polymer with N6-acetyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

CM 1

CRN 266321-09-9
CMF C12 H21 N5 O3

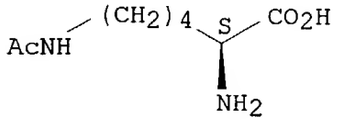
Absolute stereochemistry.



CM 2

CRN 692-04-6
CMF C8 H16 N2 O3

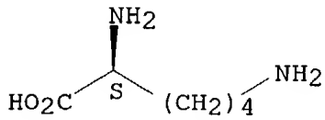
Absolute stereochemistry.



CM 3

CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.

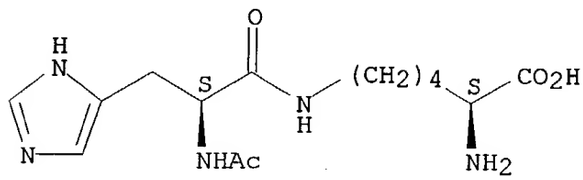


RN 266321-13-5 HCAPLUS
CN L-Lysine, N6-(N-acetyl-L-histidyl)-, polymer with N6-acetyl-L-lysine and L-lysine (9CI) (CA INDEX NAME)

CM 1

CRN 266321-12-4
CMF C14 H23 N5 O4

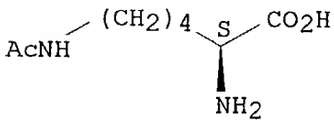
Absolute stereochemistry.



CM 2

CRN 692-04-6
CMF C8 H16 N2 O3

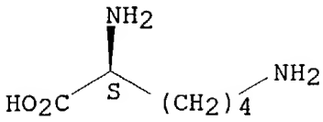
Absolute stereochemistry.



CM 3

CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.



Ordered 4/28/04

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:2402 HCAPLUS
DOCUMENT NUMBER: 100:2402
TITLE: Macromolecularization of a tripeptide analog of the copper(II) binding site of human serum albumin. I. Synthesis, conformation, and binding properties of a Gly-Gly-His derivative of poly(L-lysine)
AUTHOR(S): Michielin, L.; Mammi, S.; Peggion, E.
CORPORATE SOURCE: Inst. Org. Chem., Univ. Padova, Padua, 35131, Italy
SOURCE: Biopolymers (1983), 22(11), 2325-9
CODEN: BIPMAA; ISSN: 0006-3525
DOCUMENT TYPE: Journal
LANGUAGE: English

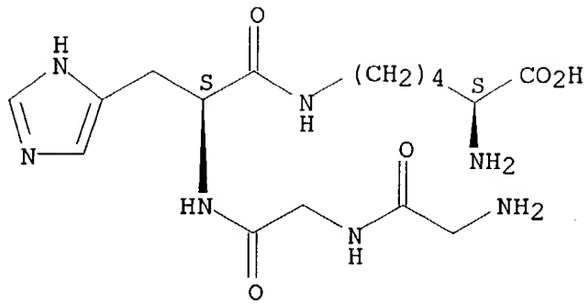
AB Gly-Gly-His, a tripeptide analog of the Cu2+-binding site of human serum albumin, was covalently attached to poly(L-lysine), and the conformation and Cu2+-binding properties of the resulting compound were investigated by CD. By changing the rel. ratios of reactants (carbobenzoxyglycylglycylhistidine hydrazide and polylysine), polymer samples with 35-70% side chain modification were obtained. For samples with 53% modification, CD patterns in the pH range 3-9 are typical of the random-coil structure. At pH ≥11, the CD spectrum indicates that the α-helix conformation predominates. In the presence of stoichiometric amts. of Cu2+ at pH 4.6, complex formation appears to be essentially complete, since no spectral change is induced by addition of 20% excess metal ions or by increasing the pH to 7.4. Within this pH range, complex formation involving unmodified lysine amino groups can be excluded, and Cu2+ is bound only to side-chain tripeptide units. The CD pattern is consistent with coordination of 1 imidazole N and 2 deprotonated imidazole N atoms with Cu2+.

IT **88190-65-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conformation and copper-binding properties of)
RN 88190-65-2 HCAPLUS
CN L-Lysine, N6-[N-(N-glycylglycyl)-L-histidyl]-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 88190-64-1
CMF C16 H27 N7 O5

Absolute stereochemistry.

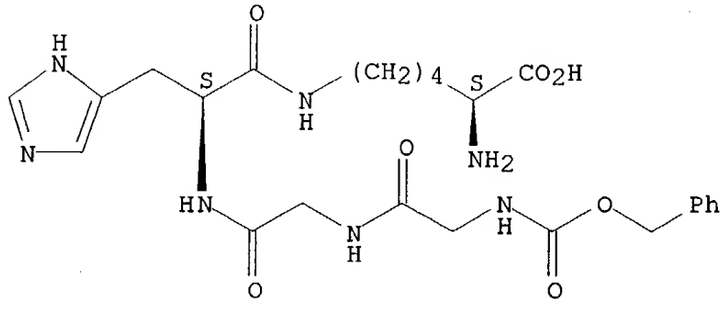


IT **88190-63-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 88190-63-0 HCAPLUS
CN L-Lysine, N6-[N-[N-[N-[(phenylmethoxy)carbonyl]glycyl]glycyl]-L-histidyl]-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 88190-62-9
CMF C24 H33 N7 O7

Absolute stereochemistry.



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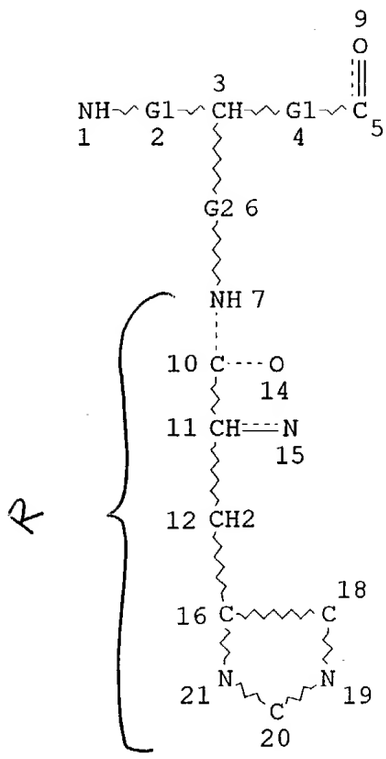
L8 0 S L6

FILE 'USPATFULL' ENTERED AT 09:46:24 ON 08 MAR 2004
L9 0 S L6

(FILE 'REGISTRY' ENTERED AT 09:47:28 ON 08 MAR 2004)
L10 STR

Claim 27



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REP G2=(1-6) CH2
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
L11 43 SEA FILE=REGISTRY SSS FUL L10

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SEARCH TIME: 00.00.10

FILE 'HCAPLUS' ENTERED AT 09:47:44 ON 08 MAR 2004
L12 21 S L11
L13 18 S L12 NOT L7

L13 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:331560 HCAPLUS
DOCUMENT NUMBER: 139:69512
TITLE: Extending the Applicability of Carboxyfluorescein in Solid-Phase Synthesis
AUTHOR(S): Fischer, Rainer; Mader, Oliver; Jung, Guenther; Brock, Roland
CORPORATE SOURCE: Institute for Cell Biology, University of

Tuebingen, Tuebingen, 72076, Germany
SOURCE: Bioconjugate Chemistry (2003), 14(3), 653-660
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:69512

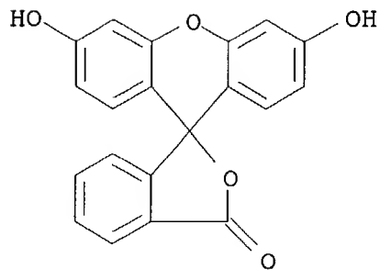
AB Optimized coupling protocols are presented for the efficient and automated generation of carboxyfluorescein-labeled peptides. Side products, generated when applying earlier protocols for the in-situ activation of carboxyfluorescein, were eliminated by a simple procedure, yielding highly pure fluorescent peptides and minimizing post-synthesis workup. For the cost-efficient labeling of large compound collections, coupling protocols were developed reducing the amount of coupling reagent and fluorophore. To enable further chemical derivatization of carboxyfluorescein-labeled peptides in solid-phase synthesis, the on-resin introduction of the trityl group was devised as a protecting group strategy for carboxyfluorescein. This protecting group strategy was exploited for the synthesis of peptides labeled with two different fluorescent dyes, essential tools for bioanal. applications based on fluorescence resonance energy transfer (FRET). Tritylation and optimized labeling conditions led to the development of a fluorescein-preloaded resin for the automated synthesis of fluorescein-labeled compound collections with uniform labeling yields.

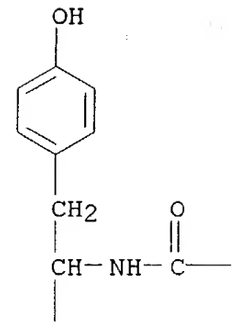
IT **551929-37-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of fluorescein-labeled peptides using Rink amide resin and trityl protecting groups)

RN 551929-37-4 HCAPLUS

CN L-Lysinamide, N6-(L-α-aspartyl-L-tyrosylglycyl-L-isoleucyl-L-prolyl-L-alanyl-L-α-aspartyl-L-histidyl)-N2-[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]carbonyl]-(9CI) (CA INDEX NAME)

PAGE 1-A





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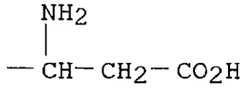

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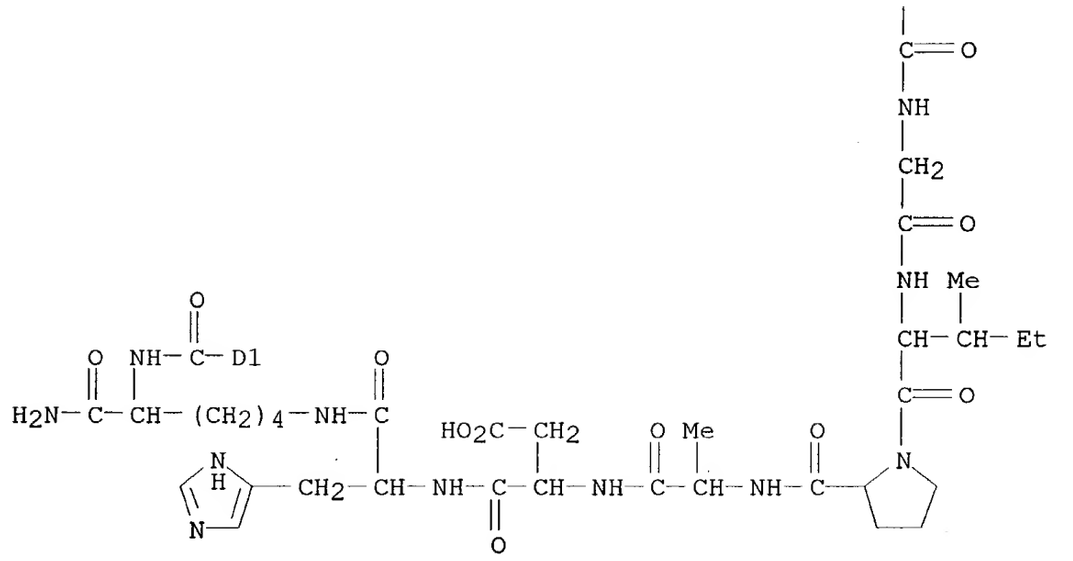

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832658 HCAPLUS
DOCUMENT NUMBER: 137:334689
TITLE: Tc and Re labeler radioactive glycosylated octreotide derivatives
INVENTOR(S): Wester, Hans-Jurgen; Schottelius, Margret; Schwaiger, Markus
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085418	A2	20021031	WO 2002-US12565	20020423
WO 2002085418	A3	20030912		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1381396 A2 20040121 EP 2002-723932 20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: EP 2001-201466 A 20010423
WO 2002-US12565 W 20020423

AB Improved sst-receptor binding peptidic ligands for diagnostic and therapeutic applications in nuclear medicine are provided. The improved ligands contain either natural or unnatural amino acids or peptidomimetic structures that are modified at either the N-terminal or the C-terminal end or at both termini, a carbohydrate unit and a chelator or prosthetic group to provide a complexation of a radioisotope binding or holding the radioisotope. The sst- or SSTR-receptor binding peptidic ligands may also contain one or more multifunctional linker units optionally coupling the peptide, and/or the sugar moiety and/or the chelator and/or the prosthetic group. Upon administering the ligand to a mammal through the blood system the ligand provides improved availability, clearance kinetics, sst-receptor targeting and internalization over the non-carbohydrated ligands.

IT **473931-73-6D**, conjugates with glucose/maltotriose, technetium 99 labeled
RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
(somatostatin receptor binding peptidic ligands for diagnostic and therapeutic applications in nuclear medicine)

RN 473931-73-6 HCAPLUS

CN L-Cysteinamide, N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

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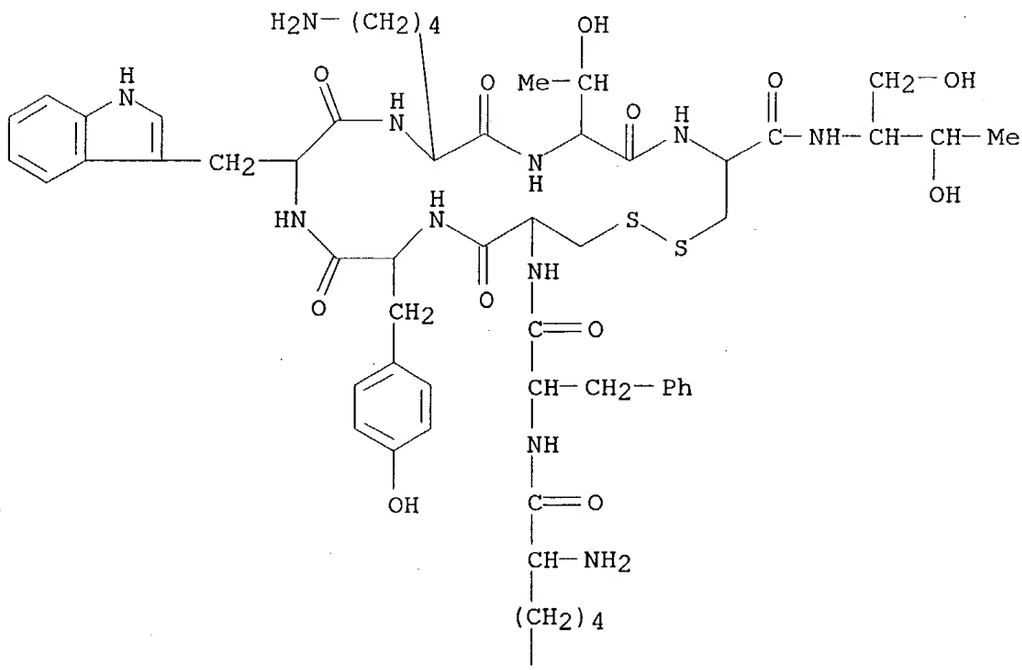

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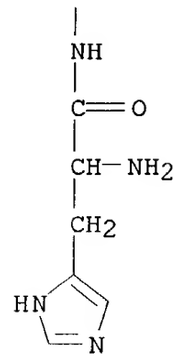

IT **473931-67-8DP**, technetium 99 complexes
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(somatostatin receptor binding peptidic ligands for diagnostic and therapeutic applications in nuclear medicine)

RN 473931-67-8 HCAPLUS

CN L-Cysteinamide, N2-(1-deoxy-D-fructos-1-yl)-N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

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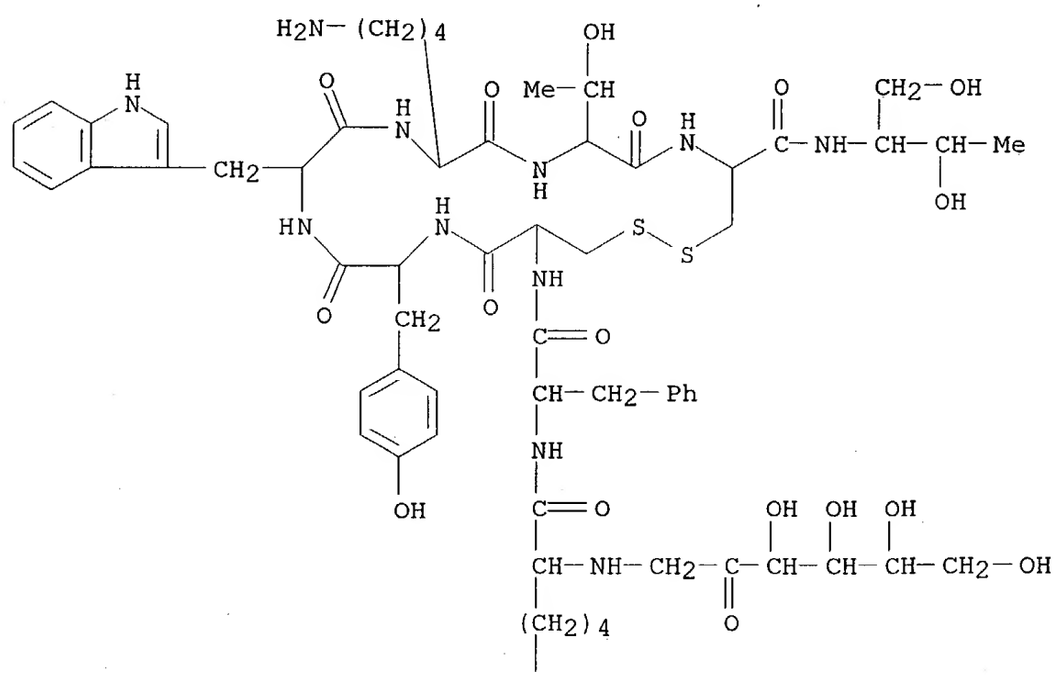
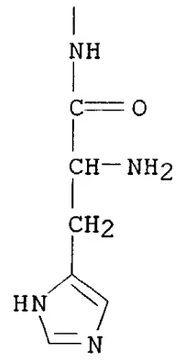


Searcher : Shears 571-272-2528



IT **473931-66-7P 473931-67-8P 473931-68-9P**
473931-69-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(somatostatin receptor binding peptidic ligands for diagnostic and therapeutic applications in nuclear medicine)

RN 473931-66-7 HCAPLUS

CN L-Cysteinamide, N6-[N,3-bis[(1,1-dimethylethoxy)carbonyl]-L-histidyl]-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

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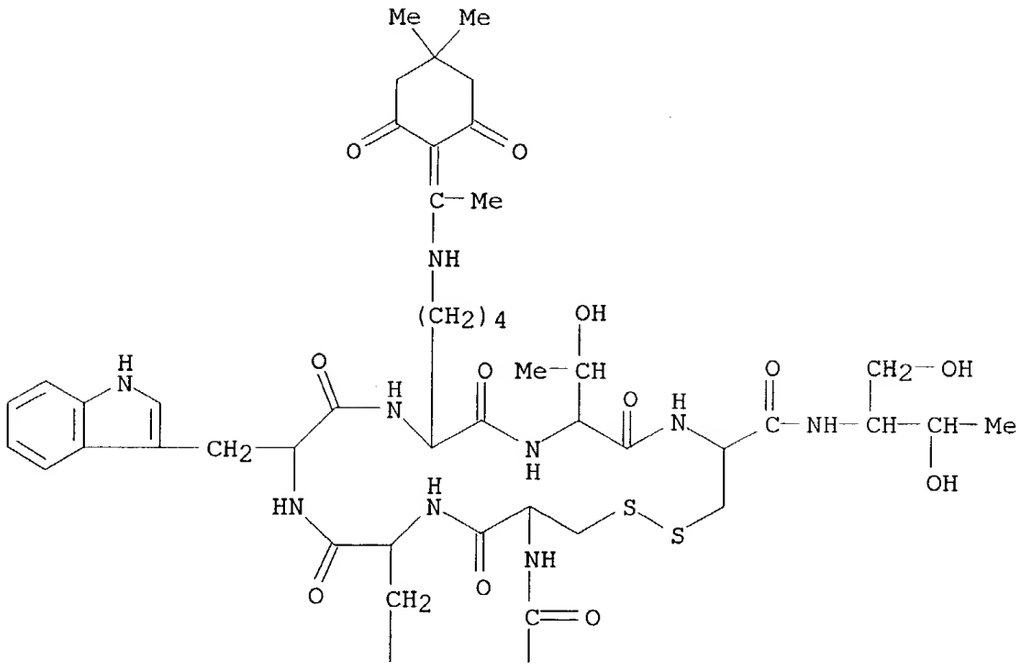

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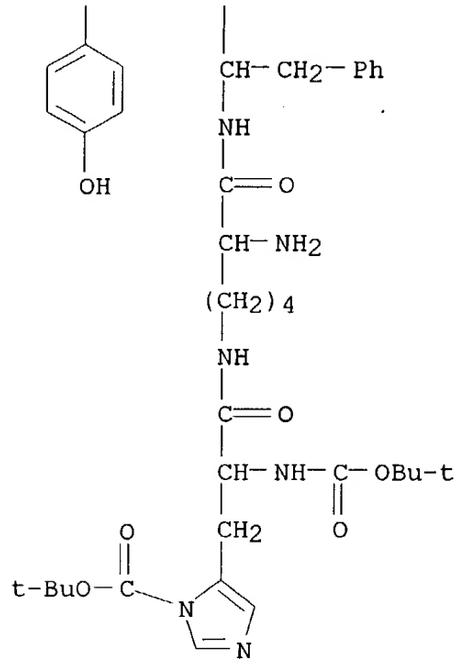

Searcher : Shears 571-272-2528

RN 473931-67-8 HCAPLUS
CN L-Cysteinamide, N2-(1-deoxy-D-fructos-1-yl)-N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

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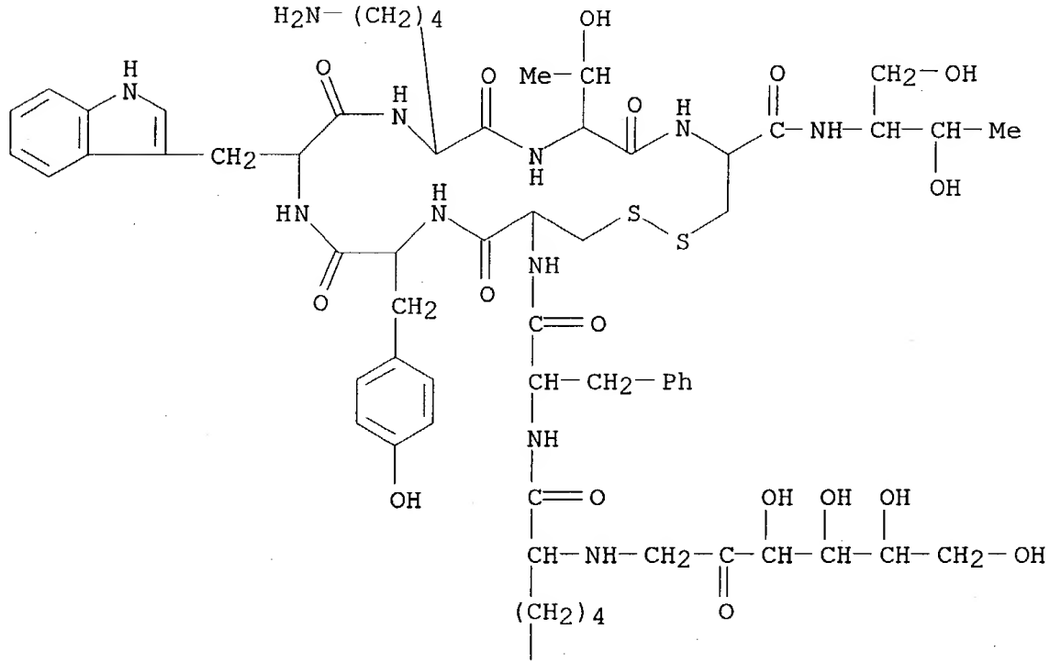

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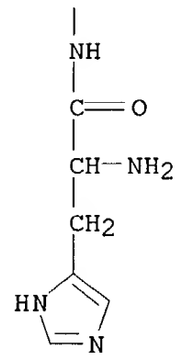

RN 473931-68-9 HCAPLUS
CN L-Cysteinamide, N2-(1-deoxy-4-O-β-D-glucopyranosyl-D-fructos-1-yl)-N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

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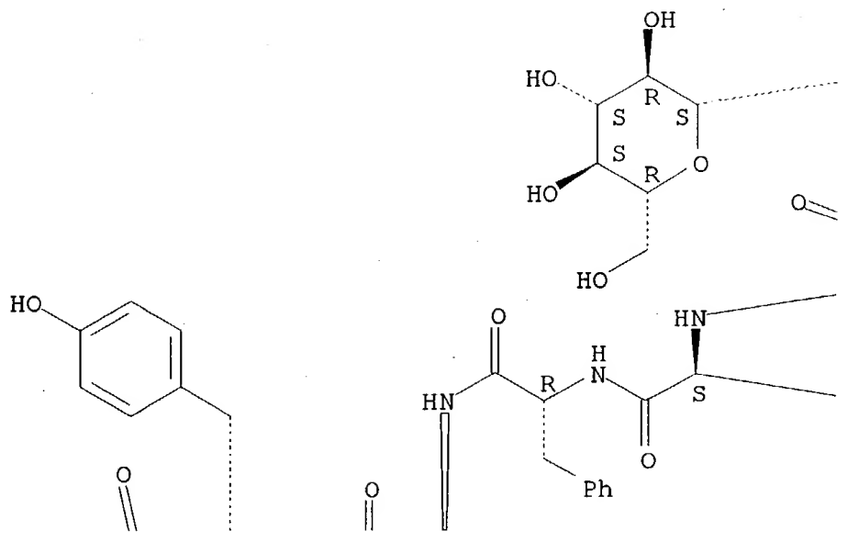

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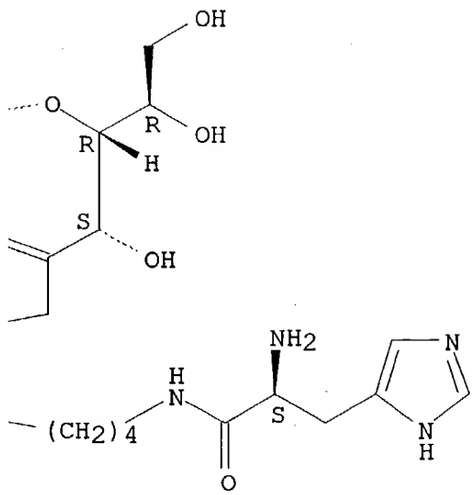
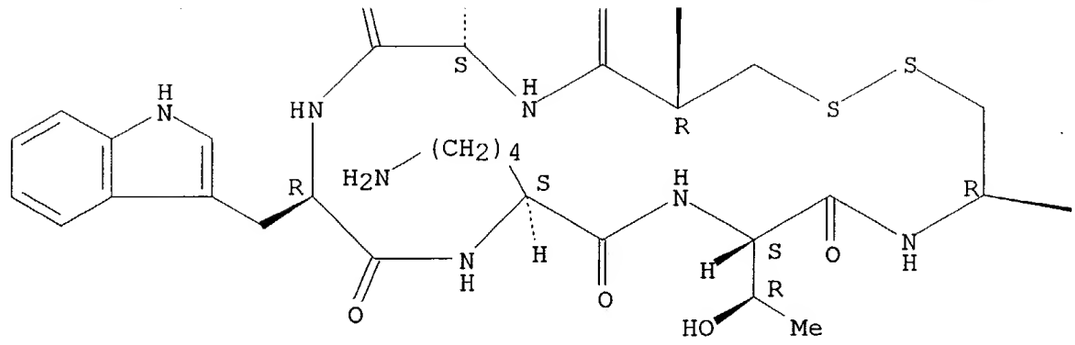


Searcher : Shears 571-272-2528

PAGE 2-A



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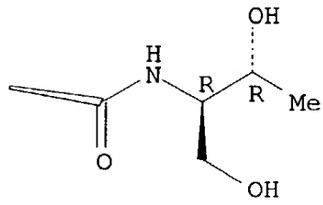
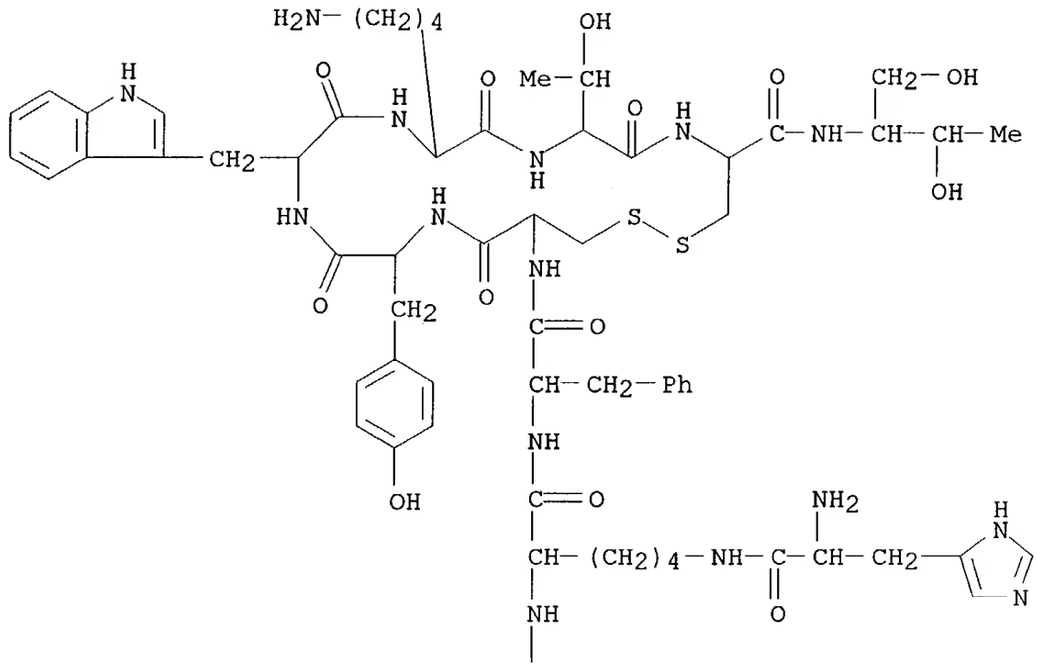
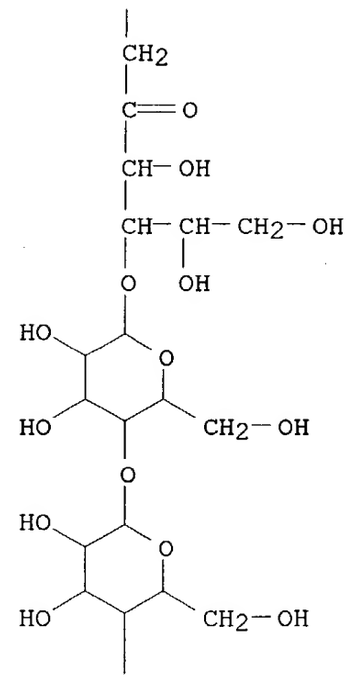


RN 473931-69-0 HCAPLUS
CN L-Cysteinamide, N2-(O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→4)-1-deoxy-D-fructos-1-yl)-N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



Searcher : Shears 571-272-2528

PAGE 3-A

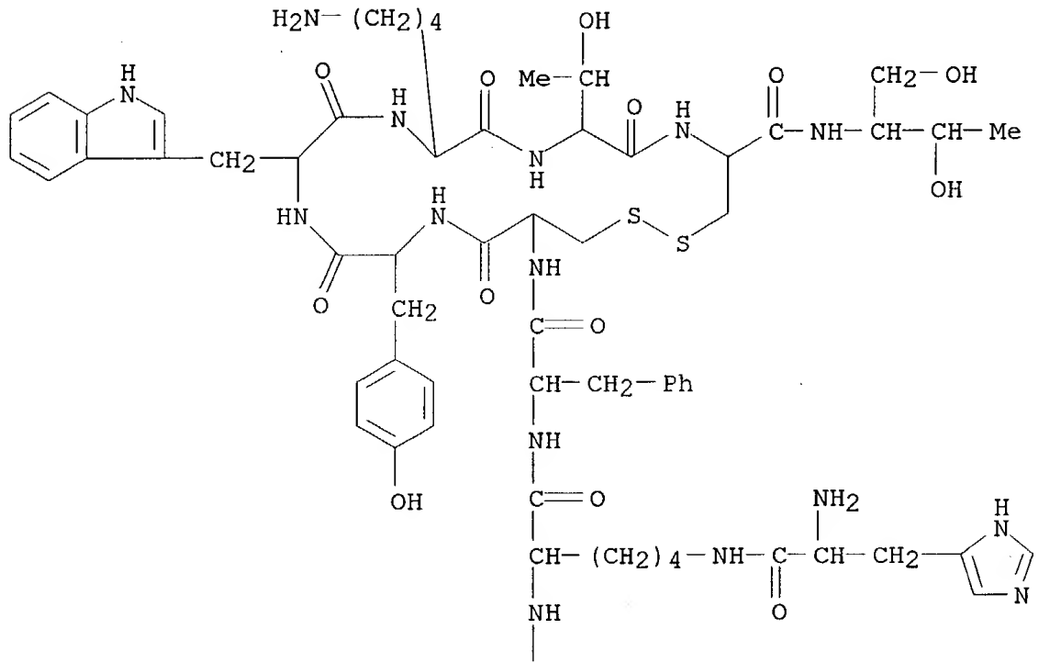
OH

IT **473931-69-0DP**, 99mTc-labeled
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(99mTc-labeled glycosylated octreotide analog preparation and somatostatin receptor binding)

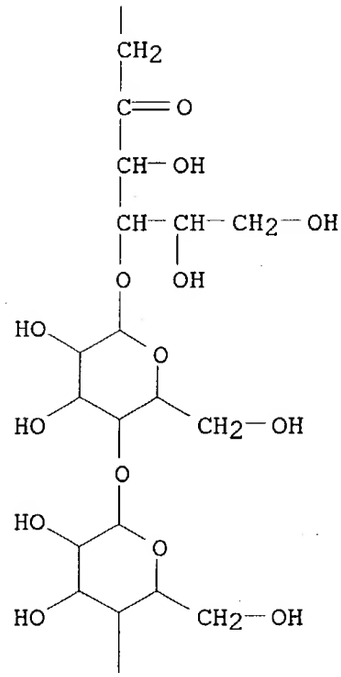
RN 473931-69-0 HCAPLUS

CN L-Cysteinamide, N2-(O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→4)-1-deoxy-D-fructos-1-yl)-N6-L-histidyl-L-lysyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



PAGE 3-A

L13 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:151832 HCAPLUS
DOCUMENT NUMBER: 136:340986
TITLE: Synthesis and Cleavage Experiments of Oligonucleotide Conjugates with a Diimidazole-Derived Catalytic Center
AUTHOR(S): Verbeure, Birgit; Lacey, Carl Jeff; Froeyen, Mattheus; Rozenski, Jef; Herdewijn, Piet
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
SOURCE: Bioconjugate Chemistry (2002), 13(2), 333-350
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340986
AB RNase mimics based on diimidazole derived constructs in combination with or without addnl. amino groups have been synthesized and conjugated to oligonucleotides. The imidazole moiety was used

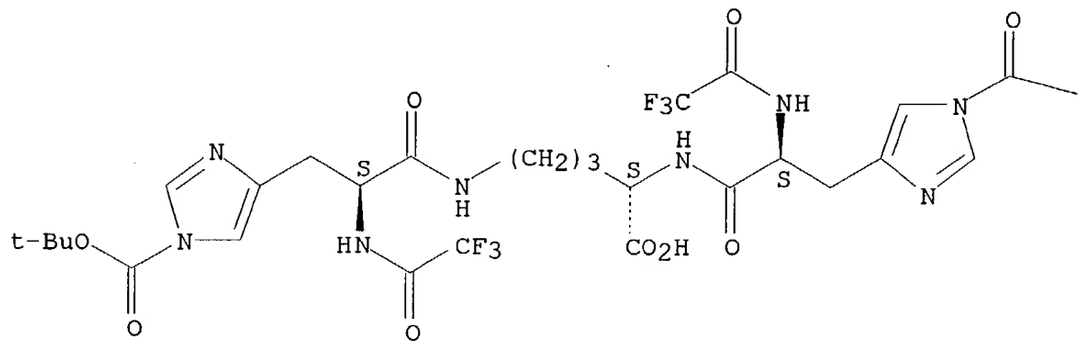
either unprotected, protected with a monomethoxytrityl group or a tert-butyloxy carbonyl group. Acylation reactions were carried out using the 3-acyl-1,3-thiazolidine-2-thione activation strategy. The peptides were coupled to the oligonucleotides with a mixture of PyBOP, DIEA an HOBt in DMF on solid support. The conjugates were purified by RP-HPLC and identified using neg. ion mode mass spectrometry. Unfortunately, no cleavage of a linear RNA target under physiol. conditions could be observed

IT **415696-39-8P 415696-40-1P 415696-41-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide-oligonucleotide conjugates for use as RNase A mimics)

RN 415696-39-8 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 4,4'-[[(1S)-1-carboxy-1,4-butanediyl]bis[imino[(2S)-3-oxo-2-[(trifluoroacetyl)amino]-3,1-propanediyl]]]bis-, 1,1'-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



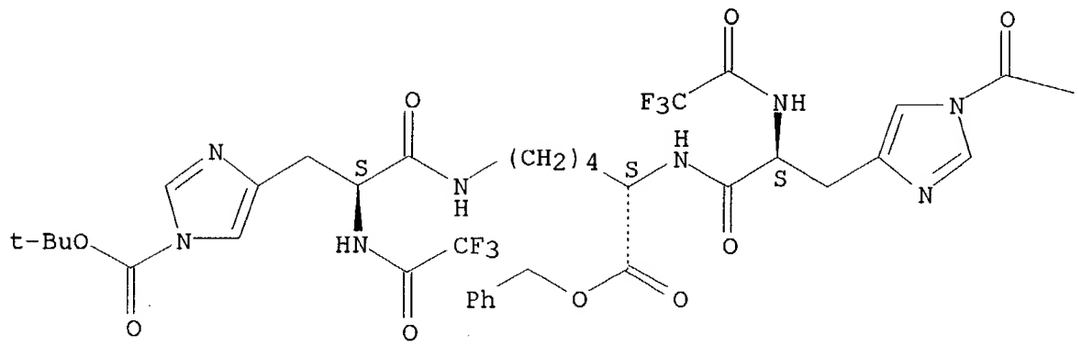
PAGE 1-B

OBu-t

RN 415696-40-1 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 4,4'-[[(1S)-1-[(phenylmethoxy)carbonyl]-1,5-pentanediyl]bis[imino[(2S)-3-oxo-2-[(trifluoroacetyl)amino]-3,1-propanediyl]]]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



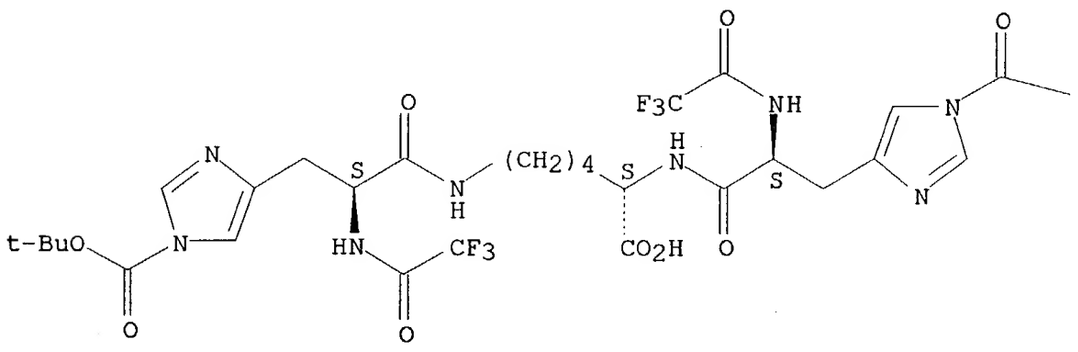
PAGE 1-B

OBu-t

RN 415696-41-2 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 4,4'-[[(1S)-1-carboxy-1,5-pentanediyl]bis[imino[(2S)-3-oxo-2-[(trifluoroacetyl)amino]-3,1-propanediyl]]]bis-, 1,1'-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OBu-t

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:554706 HCAPLUS
DOCUMENT NUMBER: 129:254017
TITLE: Peptidyl chemosensors incorporating a FRET mechanism for detection of Ni(II)
AUTHOR(S): Pearce, Dierdre A.; Walkup, Grant K.; Imperiali, Barbara
CORPORATE SOURCE: Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(15), 1963-1968
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Hexapeptides incorporating two fluorophores flanking a tripeptide sequence that binds Ni(II) and Cu(II) with high affinity were synthesized. While Cu(II) quenches the fluorescence of the resulting peptides, coordination of Ni(II) enables enhanced FRET (fluorescent resonance energy transfer) from one fluorophore to the other.

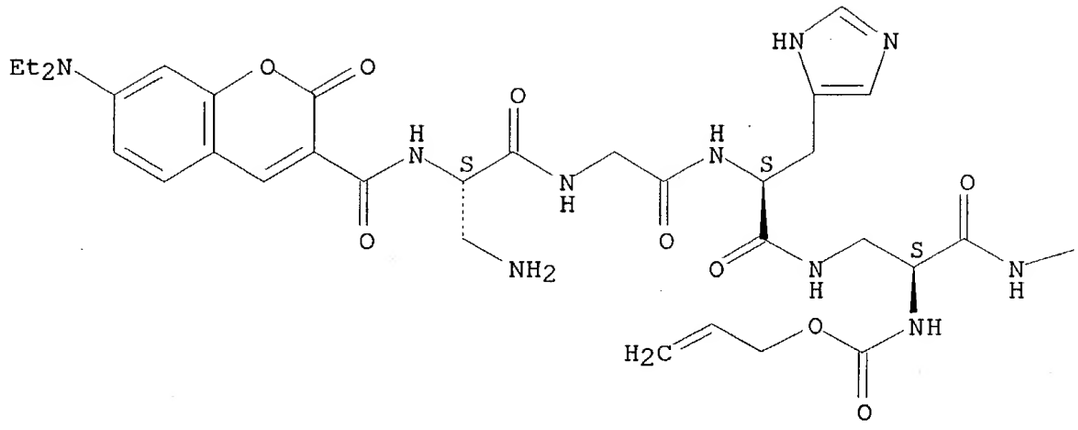
IT **213135-24-1P 213185-06-9P 213185-07-0P 213185-08-1P 213185-11-6P**
RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation and use as peptidyl chemosensors incorporating a fluorescent resonance energy transfer mechanism for detection of Ni(II))

RN 213135-24-1 HCAPLUS

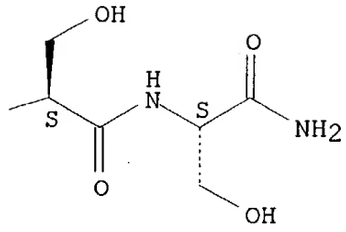
CN L-Serinamide, 3-amino-N-[[7-(diethylamino)-2-oxo-2H-1-benzopyran-3-yl]carbonyl]-L-alanylglycyl-L-histidyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

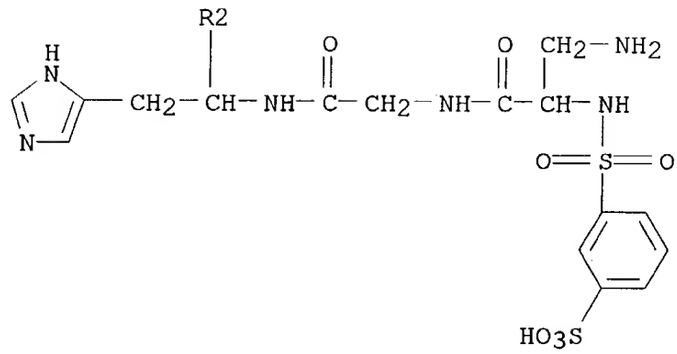


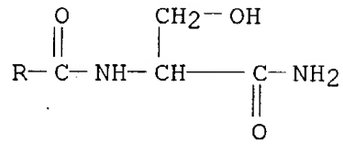
PAGE 1-B



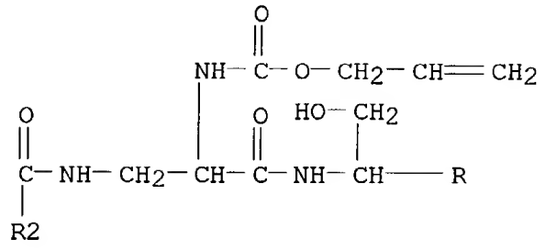
RN 213185-06-9 HCAPLUS
CN L-Serinamide, 3-amino-N-[[2(or 4)-[3,6-bis(diethylamino)-9H-xanthen-9-yl]-5-sulfophenyl]sulfonyl]-L-alanylglycyl-L-histidyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-L-seryl- (9CI) (CA INDEX NAME)

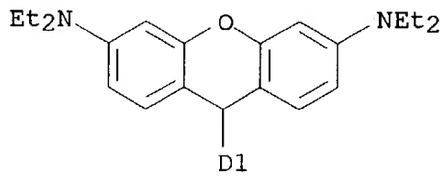
PAGE 1-A





PAGE 2-A

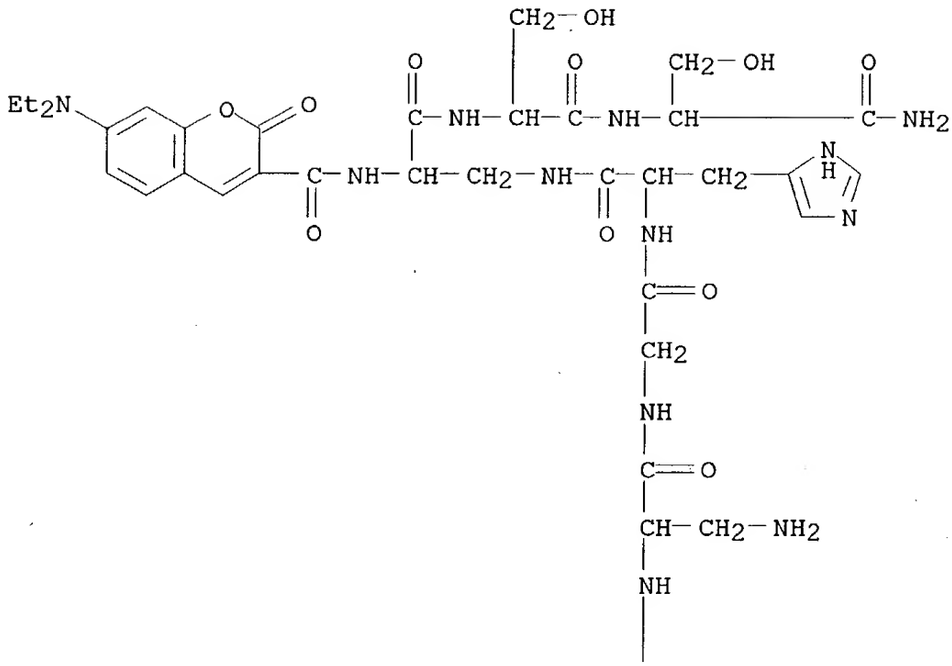




RN 213185-07-0 HCAPLUS
CN L-Serinamide, 3-amino-N-[[2(or 4)-[3,6-bis(diethylamino)-9H-xanthen-9-yl]-5-sulfophenyl]sulfonyl]-L-alanylglycyl-L-histidyl-(2S)-2-[[[7-(diethylamino)-2-oxo-2H-1-benzopyran-3-yl]carbonyl]amino]-β-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Searcher : Shears 571-272-2528

PAGE 1-A



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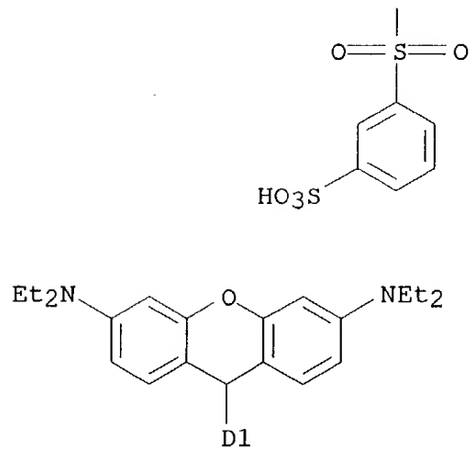
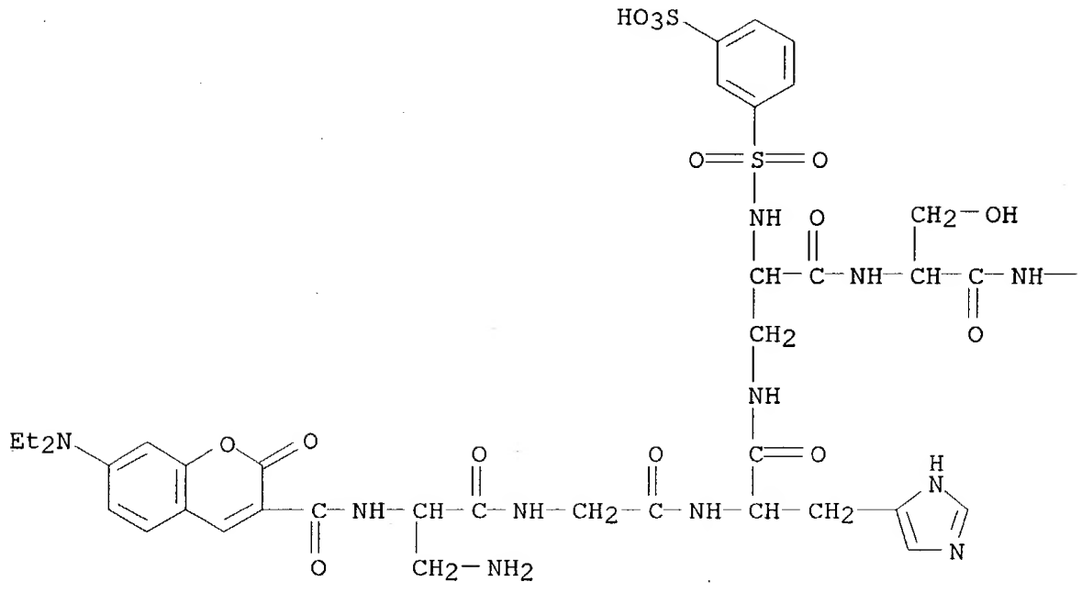


RN 213185-08-1 HCAPLUS

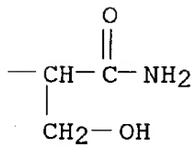
CN L-Serinamide, 3-amino-N-[[7-(diethylamino)-2-oxo-2H-1-benzopyran-3-yl]carbonyl]-L-alanylglycyl-L-histidyl-(2S)-2-[[[2(or 4)-[3,6-bis(diethylamino)-9H-xanthen-9-yl]-5-sulfophenyl]sulfonyl]amino]-β-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Searcher : Shears 571-272-2528

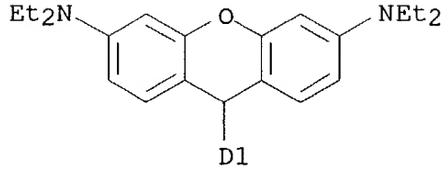
PAGE 1-A



PAGE 1-B



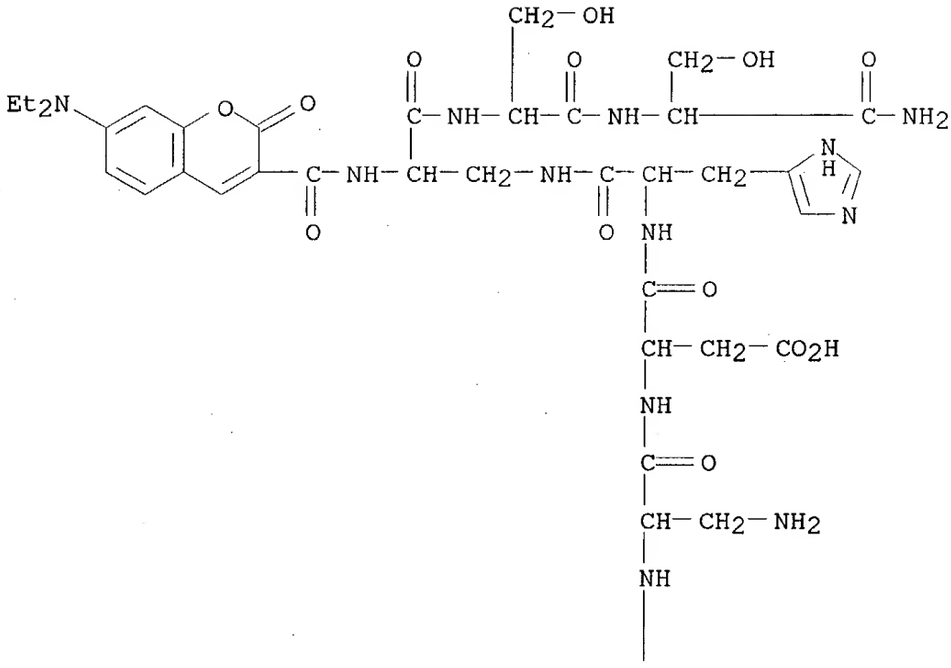
PAGE 2-A



RN 213185-11-6 HCAPLUS
CN L-Serinamide, 3-amino-N-[[2(or 4)-[3,6-bis(diethylamino)-9H-xanthen-9-yl]-5-sulfophenyl]sulfonyl]-L-alanyl-L-α-aspartyl-L-histidyl-(2S)-2-[[[7-(diethylamino)-2-oxo-2H-1-benzopyran-3-yl]carbonyl]amino]-β-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Searcher : Shears 571-272-2528

PAGE 1-A



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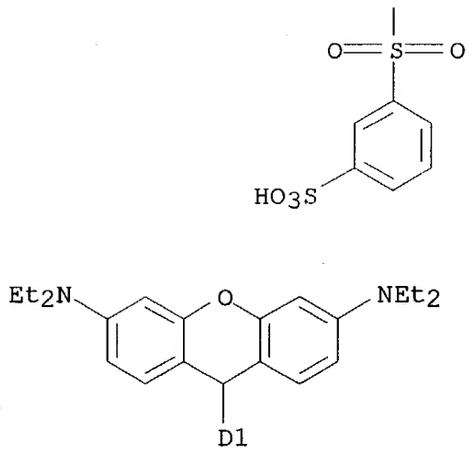


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:363423 HCAPLUS
DOCUMENT NUMBER: 129:122858

TITLE: Protease-catalyzed synthesis of peptides containing histidine and lysine
AUTHOR(S): Beck-Piotraschke, Karin; Jakubke, Hans-Dieter
CORPORATE SOURCE: Fakultat fur Biowissenschaften, Pharmazie und Psychologie, Institut fur Biochemie, Universitat Leipzig, Leipzig, D-04103, Germany
SOURCE: Tetrahedron: Asymmetry (1998), 9(9), 1505-1518
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The kinetically controlled α-chymotrypsin- and trypsin-catalyzed syntheses of peptides starting from simple acyl donor esters containing histidine at the P1-position and lysine derivs. as amino components were examined on the basis of their kinetic parameters. Despite higher specificity consts. (kcat/KM) of trypsin-catalyzed ester hydrolysis, α-chymotrypsin-catalyzed acyl transfer to Nε-unprotected lysine derivs. gave higher peptide yields as compared to trypsin-catalyzed reactions, whereas in acyl transfer to Nε-protected lysine derivs. the trypsin-catalyzed reaction gave higher yields. α-Chymotrypsin-catalyzed acyl transfer reactions in frozen systems demonstrated the yield-enhancing effect of freezing. Using specific ester leaving groups, both the amount of enzyme and the reaction time can be reduced. In frozen systems the ε-amino function of H-Lys-OH acts as an acyl acceptor at pH ≥9.

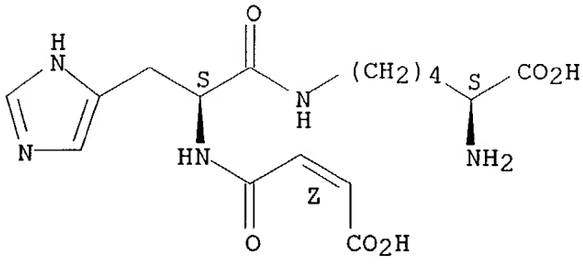
IT **210166-15-7P**
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(chymotrypsin- or trypsin-catalyzed synthesis of histidyllysyl peptides)

RN 210166-15-7 HCAPLUS
CN L-Lysine, N6-[N-[(2Z)-3-carboxy-1-oxo-2-propenyl]-L-histidyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

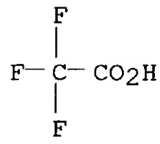
CRN 210166-14-6
CMF C16 H23 N5 O6

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:335668 HCAPLUS
DOCUMENT NUMBER: 127:77760
TITLE: Potent pseudosubstrate-based peptide inhibitors for p60c-src protein tyrosine kinase
AUTHOR(S): Lou, Qiang; Leftwich, Margaret E.; McKay, R. Trent; Salmon, Sydney E.; Rychetsky, Lenka; Lam, Kit S.
CORPORATE SOURCE: Department Medicine, Arizona Cancer Center, University Arizona College Medicine, Tucson, AZ, 85724, USA
SOURCE: Cancer Research (1997), 57(10), 1877-1881
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

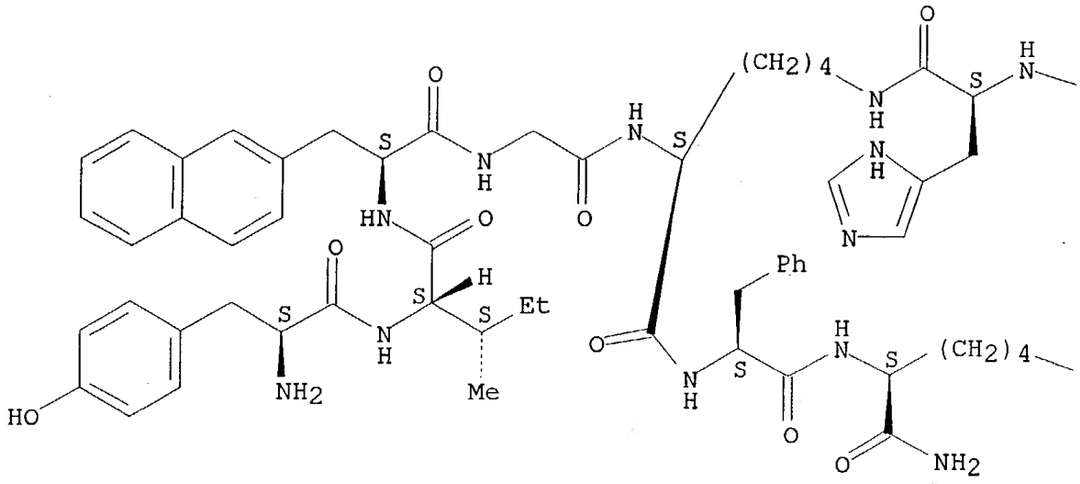
AB We recently reported the identification of GIYWHHY as an efficient and specific substrate for p60c-src protein tyrosine kinase (PTK) by screening a secondary random peptide library (Q. Lou et al., Bioorg. Med. Chemical, 4:677-682, 1996). Based on the primary structure of GIYWHHY, we designed and synthesized several pseudosubstrate-based peptide inhibitors. Some of these peptide inhibitors are highly potent and specific with IC50 in the low micromolar range. Because both YIYGSFK and GIY-WHHY are efficient and specific substrates for p60c-src PTK, chimeric branched peptides based on these two sequences were synthesized. These branched peptides inhibit p60c-src PTK with high potency, indicating that the enzyme-active site of p60c-src PTK can accommodate more than a linear motif. This may explain why seemingly several peptides with very different linear structures can all bephosphorylated by this enzyme.

IT **191742-06-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(potent pseudosubstrate-based peptide inhibitors for p60c-src protein tyrosine kinase)

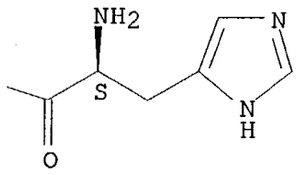
RN 191742-06-0 HCAPLUS
CN L-Lysinamide, L-tyrosyl-L-isoleucyl-3-(2-naphthalenyl)-L-alanylglycyl-N6-(L-histidyl-L-histidyl)-L-lysyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:718 HCAPLUS
DOCUMENT NUMBER: 126:88285
TITLE: Branched hybrid and cluster peptides effective in diagnosing and detecting non-A, non-B hepatitis
INVENTOR(S): Wang, Chang-yi; Hosein, Barbara H.
PATENT ASSIGNEE(S): United Biomedical, Inc., USA
SOURCE: U.S., 15 pp., Cont.-in-part of U.S. Ser. No.